-02/13/2004 10088768.trn

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                 "Ask CAS" for self-help around the clock
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        SEP 09
                CA/CAplus records now contain indexing from 1907 to the
                 present
        DEC 08
                INPADOC: Legal Status data reloaded
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        SEP 29
                DISSABS now available on STN
NEWS
     5
        OCT 10
                PCTFULL: Two new display fields added
NEWS
     6
        OCT 21
                BIOSIS file reloaded and enhanced
NEWS
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        OCT 28
                BIOSIS file segment of TOXCENTER reloaded and enhanced
NEWS
    9 NOV 24
                MSDS-CCOHS file reloaded
NEWS
NEWS 10 DEC 08
                CABA reloaded with left truncation
        DEC 08
NEWS 11
                 IMS file names changed
NEWS 12
        DEC 09
                 Experimental property data collected by CAS now available
                 in REGISTRY
NEWS 13
        DEC 09
                 STN Entry Date available for display in REGISTRY and CA/CAplus
        DEC 17
NEWS 14
                DGENE: Two new display fields added
        DEC 18
NEWS 15
                BIOTECHNO no longer updated
NEWS 16 DEC 19
                CROPU no longer updated; subscriber discount no longer
                 available
NEWS 17
        DEC 22
                Additional INPI reactions and pre-1907 documents added to CAS
                 databases
        DEC 22
NEWS 18
                 IFIPAT/IFIUDB/IFICDB reloaded with new data and search fields
NEWS 19
        DEC 22
                 ABI-INFORM now available on STN
NEWS 20
        JAN 27
                Source of Registration (SR) information in REGISTRY updated
                 and searchable
NEWS 21
        JAN 27
                 A new search aid, the Company Name Thesaurus, available in
                 CA/CAplus
                 German (DE) application and patent publication number format
NEWS 22
        FEB 05
                 changes
             DECEMBER 28 CURRENT WINDOWS VERSION IS V7.00, CURRENT
NEWS EXPRESS
             MACINTOSH VERSION IS V6.0b(ENG) AND V6.0Jb(JP),
             AND CURRENT DISCOVER FILE IS DATED 23 SEPTEMBER 2003
NEWS HOURS
              STN Operating Hours Plus Help Desk Availability
              General Internet Information
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FILE 'HOME' ENTERED AT 14:10:51 ON 13 FEB 2004

=> fil reg COST IN U.S. DOLLARS

SINCE FILE TOTAL ENTRY SESSION 0.21 0.21

FULL ESTIMATED COST

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STRUCTURE FILE UPDATES: 11 FEB 2004 HIGHEST RN 649538-27-2 DICTIONARY FILE UPDATES: 11 FEB 2004 HIGHEST RN 649538-27-2

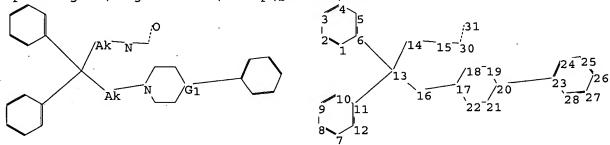
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Crossover limits have been increased. See HELP CROSSOVER for details.

Experimental and calculated property data are now available. For more information enter HELP PROP at an arrow prompt in the file or refer to the file summary sheet on the web at: http://www.cas.org/ONLINE/DBSS/registryss.html

=> Uploading C:\Program Files\Stnexp\Queries\10088769.str



chain nodes :

13 14 15 16 30 31

ring nodes :

1 2 3 4 5 6 7 8 9 10 11 12 17 18 19 20 21 22 23 24 25 26 27 28

chain bonds :

6-13 11-13 13-14 13-16 14-15 15-30 16-17 20-23 30-31

ring bonds :

1-2 1-6 2-3 3-4 4-5 5-6 7-8 7-12 8-9 9-10 10-11 11-12 17-18 17-22

18-19 19-20 20-21 21-22 23-24 23-28 24-25 25-26 26-27 27-28

exact/norm bonds :

02/13/2004

6-13 11-13 13-14 13-16 14-15 15-30 16-17 17-18 17-22 18-19 19-20 20-21 20-23 21-22 30-31 normalized bonds:
1-2 1-6 2-3 3-4 4-5 5-6 7-8 7-12 8-9 9-10 10-11 11-12 23-24 23-28 24-25 25-26 26-27 27-28

G1:C,N

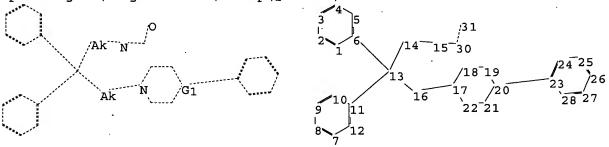
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1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:Atom 11:Atom 12:Atom 13:CLASS 14:CLASS 15:CLASS 16:CLASS 17:Atom 18:Atom 19:Atom 20:Atom 21:Atom 22:Atom 23:Atom 24:Atom 25:Atom 26:Atom 27:Atom 28:Atom 30:CLASS 31:CLASS

L1 STRUCTURE UPLOADED

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chain nodes :

13 14 15 16 30 31

ring nodes :

chain bonds :

6-13 11-13 13-14 13-16 14-15 15-30 16-17 20-23 30-31

ring bonds :

1-2 1-6 2-3 3-4 4-5 5-6 7-8 7-12 8-9 9-10 10-11 11-12 17-18 17-22

18-19 19-20 20-21 21-22 23-24 23-28 24-25 25-26 26-27 27-28

exact/norm bonds :

6-13 11-13 13-14 13-16 14-15 15-30 16-17 17-18 17-22 18-19 19-20 20-21

20-23 21-22 30-31

normalized bonds :

1-2 1-6 2-3 3-4 4-5 5-6 7-8 7-12 8-9 9-10 10-11 11-12 23-24 23-28

24-25 25-26 26-27 27-28

G1:C,N

Match level :

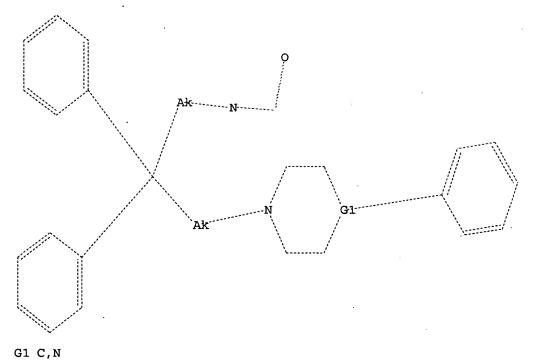
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STRUCTURE UPLOADED L2

STR

=> d 12

L2 HAS NO ANSWERS



Structure attributes must be viewed using STN Express query preparation.

=> s 12

SAMPLE SEARCH INITIATED 14:12:38 FILE 'REGISTRY' SAMPLE SCREEN SEARCH COMPLETED - 329 TO ITERATE

329 ITERATIONS 100.0% PROCESSED SEARCH TIME: 00.00.01

19 ANSWERS

FULL FILE PROJECTIONS: ONLINE **COMPLETE**

BATCH **COMPLETE**

PROJECTED ITERATIONS:

5492 TO 7668

PROJECTED ANSWERS:

119 TO 641

L3

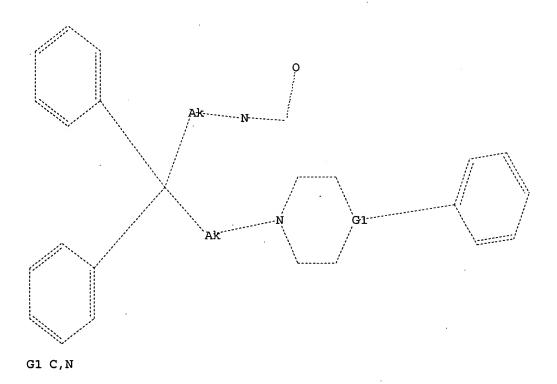
19 SEA SSS SAM L2

=> d l1

L1 HAS NO ANSWERS

L1

STR



Structure attributes must be viewed using STN Express query preparation.

=> s l1

SAMPLE SEARCH INITIATED 14:13:08 FILE 'REGISTRY' SAMPLE SCREEN SEARCH COMPLETED - 329 TO ITERATE

100.0% PROCESSED 329 ITERATIONS

19 ANSWERS

SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE **COMPLETE**

BATCH **COMPLETE**

PROJECTED ITERATIONS:

5492 TO 7668

PROJECTED ANSWERS:

119 TO 641

L4

19 SEA SSS SAM L1

=> s l1 ful

FULL SEARCH INITIATED 14:13:15 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 6640 TO ITERATE

100.0% PROCESSED 6640 ITERATIONS

311 ANSWERS

156.89

SEARCH TIME: 00.00.01

L5 311 SEA SSS FUL L1

=> fil caplus

COST IN U.S. DOLLARS

SINCE FILE TOTAL ENTRY SESSION

FULL ESTIMATED COST

156.68

02/13/2004

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FILE COVERS 1907 - 13 Feb 2004 VOL 140 ISS 7 FILE LAST UPDATED: 11 Feb 2004 (20040211/ED)

This file contains CAS Registry Numbers for easy and accurate substance identification.

=> s 15 L6 9 L5

=> d abs ibib hitstr 1-YOU HAVE REQUESTED DATA FROM 9 ANSWERS - CONTINUE? Y/(N):y

10088768.trn

Z6 AB

ANSWER 1 OF 9 CAPLUS COPYRIGHT 2004 ACS on STN Structure-activity relationship (SAR) studies of initial screening hits from our corporate library of compds. and a structurally related series of CCR1 receptor antagonists were used to determine that an N-(alkyl)benzylpiperidine is an essential pharmacophore for selective CCR3 antagonists. Further SAR studies that introduced N-(ureidoalkyl) substituents improved the binding potency of these compds. from the micromolar to the low nanomolar range. This new series of compds. also displays highly potent, in vitro functional CCR3-mediated antagonism of eotaxin-induced Ca2+ mobilization and chemotaxis of human eosinophils.

ACCESSION NUMBER:

2002:515125 CAPLUS

DOCUMENT NUMBER:

137:210415

TITLE:

Discovery and structure-activity relationship of N-(ureidoalkyl)-benzyl-piperidines as potent small molecule CC chemokine receptor-3 (CCR3) antagonists

AUTHOR (S):

De Lucca, George V.; Kim, Ui T.; Johnson, Curt; Vargo, Brian J.; Welch, Patricia K.; Covington, Maryanne; Davies, Paul; Solomon, Kimberly A.; Newton, Robert C.;

.

Trainor, George L.; Decicco, Carl P.; Ko, Soo S. Experimental Station, Bristol-Myers Squibb Company,

CORPORATE SOURCE:

Wilmington, DE, 19880-0336, USA

SOURCE:

Journal of Medicinal Chemistry (2002), 45(17),

3794-3804

CODEN: JMCMAR; ISSN: 0022-2623

PUBLISHER:

American Chemical Society

DOCUMENT TYPE:

Journal

LANGUAGE:

UAGE: English 193541-48-9P 193541-51-4P 193

IT 193541-48-9P 193541-51-4P 193541-54-7P

193541-96-7P 455259-43-5P 455259-44-6P 455259-45-7P 455259-46-8P 455259-47-9P

455259-45-7P 455259-46-8P 455259-47-9P 455259-48-0P 455259-49-1P 455259-50-4P

455259-51-5P 455259-52-6P 455259-53-7P 455259-54-8P 455259-55-9P 455259-56-0P

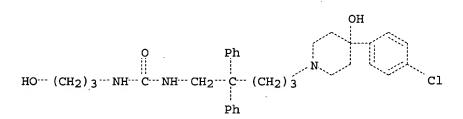
RL: PAC (Pharmacological activity); PRP (Properties); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)

(discovery and structure-activity relationship of N-

(ureidoalkyl)benzylpiperidines as CCR3 receptors antagonists)

RN 193541-48-9 CAPLUS

CN Urea, N-[5-[4-(4-chlorophenyl)-4-hydroxy-1-piperidinyl]-2,2-diphenylpentyl]-N'-(3-hydroxypropyl)- (9CI) (CA INDEX NAME)



RN 193541-51-4 CAPLUS

CN Urea, N-[5-[4-(4-chlorophenyl)-4-hydroxy-1-piperidinyl]-2,2-diphenylpentyl]-N'-[2-(dimethylamino)ethyl]- (9CI) (CA INDEX NAME)

RN 193541-54-7 CAPLUS

CN Urea, N-[5-[4-(4-chlorophenyl)-4-hydroxy-1-piperidinyl]-2,2-diphenylpentyl]-N'-[2-(1-piperidinyl)ethyl]- (9CI) (CA'INDEX NAME)

RN 193541-96-7 CAPLUS

CN Urea, N-[5-[4-(4-chlorophenyl)-4-hydroxy-1-piperidinyl]-2,2-diphenylpentyl]-N'-[1-(phenylmethyl)-4-piperidinyl]- (9CI) (CA INDEX NAME)

RN 455259-43-5 CAPLUS

CN Urea, N-[5-[4-(4-chlorophenyl)-4-hydroxy-1-piperidinyl]-2,2-diphenylpentyl]-N'-(4-hydroxybutyl)- (9CI) (CA INDEX NAME)

RN 455259-44-6 CAPLUS

CN 1-Piperidinecarboxylic acid, 4-[[[[5-[4-(4-chlorophenyl)-4-hydroxy-1-piperidinyl]-2,2-diphenylpentyl]amino]carbonyl]amino]-, methyl ester (9CI) (CA INDEX NAME)

RN 455259-45-7 CAPLUS

CN Urea, N-[5-[4-(4-chlorophenyl)-4-hydroxy-1-piperidinyl]-2,2-diphenylpentyl]-N'-methyl- (9CI) (CA INDEX NAME)

RN 455259-46-8 CAPLUS

CN Urea, N-[5-[4-(4-chlorophenyl)-4-hydroxy-1-piperidinyl]-2,2-diphenylpentyl]-N'-(1-methylethyl)- (9CI) (CA INDEX NAME)

RN 455259-47-9 CAPLUS

CN Urea, N-[5-[4-(4-chlorophenyl)-4-hydroxy-1-piperidinyl]-2,2-diphenylpentyl]-N'-(1,1-dimethylethyl)- (9CI) (CA INDEX NAME)

RN 455259-48-0 CAPLUS

CN Urea, N-[5-[4-(4-chlorophenyl)-4-hydroxy-1-piperidinyl]-2,2-diphenylpentyl]-N'-[2-(4-fluorophenyl)ethyl]- (9CI) (CA INDEX NAME)

RN 455259-49-1 CAPLUS

CN Urea, N-[5-[4-(4-chlorophenyl)-4-hydroxy-1-piperidinyl]-2,2-diphenylpentyl]-N'-(phenylmethyl)- (9CI) (CA INDEX NAME)

RN 455259-50-4 CAPLUS

CN Urea, N-[5-[4-(4-chlorophenyl)-4-hydroxy-1-piperidinyl]-2,2-diphenylpentyl]-N'-(cyclohexylmethyl)- (9CI) (CA INDEX NAME)

RN 455259-51-5 CAPLUS

CN Urea, N-[5-[4-(4-chlorophenyl)-4-hydroxy-1-piperidinyl]-2,2-diphenylpentyl]-N'-phenyl- (9CI) (CA INDEX NAME)

RN 455259-52-6 CAPLUS

CN Urea, N-[5-[4-(4-chlorophenyl)-4-hydroxy-1-piperidinyl]-2,2-diphenylpentyl]-N'-(4-methoxyphenyl)- (9CI) (CA INDEX NAME)

RN 455259-53-7 CAPLUS

CN Urea, N-[5-[4-(4-chlorophenyl)-4-hydroxy-1-piperidinyl]-2,2-diphenylpentyl]-N'-[3-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)

RN 455259-54-8 CAPLUS

CN Urea, N-[5-[4-(4-chlorophenyl)-4-hydroxy-1-piperidinyl]-2,2-diphenylpentyl]-N'-[4-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)

RN 455259-55-9 CAPLUS

CN Urea, N-[5-[4-(4-chlorophenyl)-4-hydroxy-1-piperidinyl]-2,2-diphenylpentyl]-N'-(2,5-difluorophenyl)- (9CI) (CA INDEX NAME)

RN 455259-56-0 CAPLUS

CN Urea, N-[5-[4-(4-chlorophenyl)-4-hydroxy-1-piperidinyl]-2,2-diphenylpentyl]-N'-4-pyridinyl- (9CI) (CA INDEX NAME)

IT 193541-41-2P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(discovery and structure-activity relationship of N-

(ureidoalkyl)benzylpiperidines as CCR3 receptors antagonists)

RN 193541-41-2 CAPLUS

CN Carbamic acid, [5-[4-(4-chlorophenyl)-4-hydroxy-1-piperidinyl]-2,2-diphenylpentyl]-, phenyl ester (9CI) (CA INDEX NAME)

REFERENCE COUNT:

THERE ARE 49 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

ANSWER 2 OF 9 CAPLUS COPYRIGHT 2004 ACS on STN L6 GI

Compds. of general formula [I; wherein Ar1 and Ar2 are each an optionally AB substituted aromatic group; P and Q are each a divalent aliphatic hydrocarbon group which may contain ethereal oxygen or sulfur in the carbon chain and may be substituted; R1 and R3 are each (i) hydrogen, (ii) acyl, or (iii) optionally substituted hydrocarbyl; R2 and R4 are each (i) hydrogen, (ii) optionally substituted alkyl, or (iii) optionally substituted alkylcarbonyl; alternatively R1 and R2 or R3 and R4 together with the nitrogen atom adjacent thereto may form a monocyclic or fused nitrogenous heterocyclic group; and j is 0 or 1], salts of the same, or prodrugs thereof are prepared These compds. are useful for the treatment of diseases caused by MCH, e.g. obesity (as antiobesity agents) or overeating (as appetite depressants), or for the improvement of emotional disorders or sexual function. Thus, benzyl 2-[(5-hydroxy-2,2-diphenylpentyl)amino]-2oxoethylcarbamate was brominated by Br and Ph3P in MeCN at room temperature for 1 h to give benzyl 2-[(5-bromo-2,2-diphenylpentyl)amino]-2oxoethylcarbamate which was dissolved in MeCN, treated with 4-phenylpiperidine and K2CO3 in MeCN, and stirred at 40° overnight to give, after purification on alumina column chromatog. and conversion into the HCl, benzyl 2-[[2,2-diphenyl-5-(4-phenylpiperidino)pentyl]amino]-2oxoethylcarbamate hydrochloride (II). II in vitro inhibited the binding of [358]-guanosine 5'-(γ -thio)triphosphate to human somatostatin-like receptor (SLC-1) with IC50 of 5 nM. Tablet formulations containing II were described. .

2001:228703 CAPLUS ACCESSION NUMBER:

DOCUMENT NUMBER:

134:252267

Preparation of diarylalakanediamine derivatives as TITLE:

melanin concentrating hormone (MCH) antagonists Kato, Kaneyoshi; Mori, Masaaki; Suzuki, Nobuhiro;

INVENTOR(S): Shimomura, Yukio; Takekawa, Shiro; Choh, Nobuo

Takeda Chemical Industries, Ltd., Japan PATENT ASSIGNEE(S):

SOURCE: PCT Int. Appl., 284 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

Japanese LANGUAGE:

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

APPLICATION NO. DATE PATENT NO. KIND DATE --------------WO 2000-JP6376 20000919 20010329 WO 2001021169 A1 W: AE, AG, AL, AM, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CN, CR, CU, CZ, DM, DZ, EE, GD, GE, HR, HU, ID, IL, IN, IS, JP, KG, KR, KZ, LC, LK, LR, LT, LV, MA, MD, MG, MK, MN, MX, NO, NZ, PL, RO, RU, SG, SI, SK, TJ, TM, TR, TT, UA, US, UZ, VN, YU, ZA, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

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RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG AU 2000073158 **A5** 20010424 AU 2000-73158 JP 2002097138 A2 20020402 JP 2000-288894 20000919 EP 1219294 A1 20020703 EP 2000-961076 20000919 AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, R: IE, SI, LT, LV, FI, RO, MK, CY, AL PRIORITY APPLN. INFO.: JP 1999-266278 A 19990920 JP 2000-221055 20000717 Α WO 2000-JP6376 W 20000919 OTHER SOURCE(S): MARPAT 134:252267 331629-15-3P 331629-32-4P 331629-34-6P 331629-35-7P 331629-45-9P 331629-46-0P 331629-48-2P 331629-55-1P 331629-56-2P 331629-81-3P 331630-19-4P RL: BAC (Biological activity or effector, except adverse); BSU (Biological

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)

(preparation of diarylalakanediamine derivs. as melanin concentrating hormone (MCH)

antagonists for treating MCH-caused diseases)

RN 331629-15-3 CAPLUS

CN Carbamic acid, [2-[[2,2-diphenyl-5-(4-phenyl-1-piperidinyl)pentyl]amino]-2-oxoethyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

RN 331629-32-4 CAPLUS

CN Benzenepentanamide, N-[2,2-diphenyl-5-(4-phenyl-1-piperidinyl)pentyl]δ-oxo- (9CI) (CA INDEX NAME)

RN 331629-34-6 CAPLUS

CN Butanoic acid, 4-[[2,2-diphenyl-5-(4-phenyl-1-piperidinyl)pentyl]amino]-4-oxo-, ethyl ester (9CI) (CA INDEX NAME)

RN 331629-35-7 CAPLUS

CN Acetamide, 2-(acetyloxy)-N-[2,2-diphenyl-5-(4-phenyl-1-piperidinyl)pentyl](9CI) (CA INDEX NAME)

RN 331629-45-9 CAPLUS

CN 2-Furancarboxamide, 5-bromo-N-[2,2-diphenyl-5-(4-phenyl-1-piperidinyl)pentyl]- (9CI) (CA INDEX NAME)

RN 331629-46-0 CAPLUS

CN 2-Thiophenecarboxamide, 5-bromo-N-[2,2-diphenyl-5-(4-phenyl-1-piperidinyl)pentyl]- (9CI) (CA INDEX NAME)

RN 331629-48-2 CAPLUS

CN Benzamide, 3-bromo-N-[2,2-diphenyl-5-(4-phenyl-1-piperidinyl)pentyl](9CI) (CA INDEX NAME)

RN 331629-55-1 CAPLUS

CN Carbamic acid, [3-[[2,2-diphenyl-5-(4-phenyl-1-piperidinyl)pentyl]amino]-3-oxopropyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)

RN 331629-56-2 CAPLUS

CN Carbamic acid, [4-[[2,2-diphenyl-5-(4-phenyl-1-piperidinyl)pentyl]amino]-4-oxobutyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)

RN 331629-81-3 CAPLUS

CN Glycinamide, N-[(phenylmethoxy)carbonyl]-L-phenylalanyl-N-[2,2-diphenyl-5-(4-phenyl-1-piperidinyl)pentyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 331630-19-4 CAPLUS

CN Carbamic acid, [2-[[2,2-bis(4-chlorophenyl)-5-(4-phenyl-1-piperidinyl)pentyl]amino]-2-oxoethyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

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179312-63-1P 193541-29-6P 193541-38-7P
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     193546-11-1P 331629-14-2P 331629-19-7P
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     331630-31-0P 331630-32-1P 331630-33-2P
     331630-34-3P 331630-35-4P 331630-36-5P
     331630-37-6P 331630-38-7P 331630-39-8P
     331630-40-1P 331630-41-2P 331630-42-3P
     331630-43-4P 331630-44-5P 331630-45-6P
     331630-46-7P 331630-47-8P 331630-48-9P
     331630-49-0P 331630-51-4P 331630-52-5P
     331630-53-6P 331630-54-7P 331630-55-8P
    331630-56-9P 331630-57-0P 331630-59-2P
     331630-60-5P 331630-61-6P 331630-62-7P
    331630-63-8P 331630-65-0P 331630-66-1P
    331630-67-2P 331630-68-3P 331630-70-7P
    331630-74-1P 331630-75-2P 331630-77-4P
    331630-81-0P 331630-84-3P 331630-85-4P
    331630-86-5P 331630-87-6P
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RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of diarylalakanediamine derivs. as melanin concentrating hormone (MCH) $\,$

antagonists for treating MCH-caused diseases)

RN 179312-63-1 CAPLUS

CN Formamide, N-[2,2-diphenyl-5-(4-phenyl-1-piperidinyl)pentyl]-,
monohydrochloride (9CI) (CA INDEX NAME)

HCl

RN 193541-29-6 CAPLUS

CN Formamide, N-[2,2-diphenyl-5-(4-phenyl-1-piperidinyl)pentyl]- (9CI) (CA INDEX NAME)

RN 193541-38-7 CAPLUS

CN Butanoic acid, 4-[[5-[4-(4-chlorophenyl)-4-hydroxy-1-piperidinyl]-2,2-diphenylpentyl]amino]-4-oxo- (9CI) (CA INDEX NAME)

HO₂C··· CH₂··· CH₂··· C·· NH··· CH₂··· C·· (CH₂)
$$\frac{1}{3}$$
 C··

RN 193541-39-8 CAPLUS

CN Urea, N-[5-[4-(4-chlorophenyl)-4-hydroxy-1-piperidinyl]-2,2-diphenylpentyl]-N'-ethyl- (9CI) (CA INDEX NAME)

RN 193541-41-2 CAPLUS

CN Carbamic acid, [5-[4-(4-chlorophenyl)-4-hydroxy-1-piperidinyl]-2,2-diphenylpentyl]-, phenyl ester (9CI) (CA INDEX NAME)

RN 193542-43-7 CAPLUS

CN Butanoic acid, 4-[[5-[4-(4-chlorophenyl)-4-hydroxy-1-piperidinyl]-2,2-diphenylpentyl]amino]-4-oxo-, ethyl ester (9CI) (CA INDEX NAME)

RN 193546-11-1 CAPLUS

CN Urea, N-[5-[4-(4-chlorophenyl)-4-hydroxy-1-piperidinyl]-2,2-diphenylpentyl]-N'-(3-hydroxypropyl)-, monohydrochloride (9CI) (CA INDEX NAME)

HC1

RN 331629-14-2 CAPLUS

CN Carbamic acid, [2-[[2,2-diphenyl-5-(4-phenyl-1-piperidinyl)pentyl]amino]-2-oxoethyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)

RN 331629-19-7 CAPLUS

CN Acetamide, N-[4,4-diphenyl-7-(4-phenyl-1-piperidinyl)heptyl]-,
monohydrochloride (9CI) (CA INDEX NAME)

● HCl

RN 331629-24-4 CAPLUS

CN 2-Thiophenecarboxamide, N-[4,4-diphenyl-7-(4-phenyl-1-piperidinyl)heptyl]-, monohydrochloride (9CI) (CA INDEX NAME)

● HCl

RN 331629-25-5 CAPLUS

CN Benzeneacetamide, N-[4,4-diphenyl-7-(4-phenyl-1-piperidinyl)heptyl]-, monohydrochloride (9CI) (CA INDEX NAME)

$$\begin{array}{c} \text{Ph} & \text{O} \\ & \text{|} \\ \text{|} \\$$

HCl

RN 331629-27-7 CAPLUS

CN 2-Thiophenecarboxamide, N-[4,4-diphenyl-7-(4-phenyl-1-piperidinyl)heptyl]-(9CI) (CA INDEX NAME)

RN 331629-30-2 CAPLUS

CN Benzenehexanamide, N-[2,2-diphenyl-5-(4-phenyl-1-piperidinyl)pentyl](9CI) (CA INDEX NAME)

RN 331629-31-3 CAPLUS

CN Butanamide, N-[2,2-diphenyl-5-(4-phenyl-1-piperidinyl)pentyl]-4-(phenylmethoxy)- (9CI) (CA INDEX NAME)

RN 331629-33-5 CAPLUS

CN [1,1'-Biphenyl]-4-carboxamide, N-[2,2-diphenyl-5-(4-phenyl-1-piperidinyl)pentyl]- (9CI) (CA INDEX NAME)

RN 331629-36-8 CAPLUS

CN Carbamic acid, [(1S)-2-[[2,2-diphenyl-5-(4-phenyl-1-piperidinyl)pentyl]amino]-1-methyl-2-oxoethyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 331629-37-9 CAPLUS

CN Carbamic acid, [(1S)-1-[[[2,2-diphenyl-5-(4-phenyl-1 piperidinyl)pentyl]amino]carbonyl]-3-methylbutyl]-, phenylmethyl ester
 (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 331629-38-0 CAPLUS

CN Carbamic acid, [(1S)-2-[[2,2-diphenyl-5-(4-phenyl-1-piperidinyl)pentyl]amino]-2-oxo-1-(phenylmethyl)ethyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 331629-39-1 CAPLUS

CN Carbamic acid, [(1S)-2-[[2,2-diphenyl-5-(4-phenyl-1-piperidinyl)pentyl]amino]-1-(hydroxymethyl)-2-oxoethyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 331629-40-4 CAPLUS

CN Carbamic acid, [(1R)-2-[[2,2-diphenyl-5-(4-phenyl-1 piperidinyl)pentyl]amino]-1-methyl-2-oxoethyl]-, phenylmethyl ester (9CI)
 (CA INDEX NAME)

Absolute stereochemistry.

RN 331629-41-5 CAPLUS

CN 1-Pyrrolidinecarboxylic acid, 2-[[[2,2-diphenyl-5-(4-phenyl-1-piperidinyl)pentyl]amino]carbonyl]-, phenylmethyl ester, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 331629-42-6 CAPLUS

CN 2-Thiophenecarboxamide, N-[2,2-diphenyl-5-(4-phenyl-1-piperidinyl)pentyl]-(9CI) (CA INDEX NAME)

RN 331629-43-7 CAPLUS

CN Benzamide, N-[2,2-diphenyl-5-(4-phenyl-1-piperidinyl)pentyl]-4-(phenylmethoxy)- (9CI) (CA INDEX NAME)

RN 331629-44-8 CAPLUS

CN Benzamide, N-[2,2-diphenyl-5-(4-phenyl-1-piperidinyl)pentyl]-4-phenoxy-, monohydrochloride (9CI). (CA INDEX NAME)

HCl

RN 331629-47-1 CAPLUS

CN 1H-Pyrrole-2-carboxamide, N-[2,2-diphenyl-5-(4-phenyl-1-piperidinyl)pentyl]- (9CI) (CA INDEX NAME)

RN 331629-49-3 CAPLUS

CN [1,1'-Biphenyl]-4-acetamide, N-[2,2-diphenyl-5-(4-phenyl-1-piperidinyl)pentyl]- (9CI) (CA INDEX NAME)

RN 331629-50-6 CAPLUS

CN Benzamide, N-[2,2-diphenyl-5-(4-phenyl-1-piperidinyl)pentyl]-3-(phenylmethoxy)- (9CI) (CA INDEX NAME)

RN 331629-51-7 CAPLUS

CN 4-Thiazolecarboxamide, 2-(benzoylamino)-N-[2,2-diphenyl-5-(4-phenyl-1-piperidinyl)pentyl]- (9CI) (CA INDEX NAME)

RN 331629-52-8 CAPLUS

CN Benzamide, 4-bromo-N-[2,2-diphenyl-5-(4-phenyl-1-piperidinyl)pentyl](9CI) (CA INDEX NAME)

RN 331629-53-9 CAPLUS

CN Benzamide, 4-(benzoylamino)-N-[2,2-diphenyl-5-(4-phenyl-1-piperidinyl)pentyl]- (9CI) (CA INDEX NAME)

RN 331629-54-0 CAPLUS

CN 1,4-Benzenedicarboxamide, N-[2,2-diphenyl-5-(4-phenyl-1-piperidinyl)pentyl]-N'-phenyl- (9CI) (CA INDEX NAME)

RN 331629-57-3 CAPLUS

CN Carbamic acid, [2-[[2,2-diphenyl-5-(4-phenyl-1-piperidinyl)pentyl]amino]-2oxoethyl]methyl-, phenylmethyl ester, monohydrochloride (9CI) (CA INDEX
NAME)

● HCl

RN 331629-58-4 CAPLUS

CN Urea, N-[2,2-diphenyl-5-(4-phenyl-1-piperidinyl)pentyl]-N'-(phenylmethyl)-(9CI) (CA INDEX NAME)

RN 331629-59-5 CAPLUS

CN 1H-Pyrrole-2-carboxamide, N-[2-[[2,2-diphenyl-5-(4-phenyl-1-piperidinyl)pentyl]amino]-2-oxoethyl]- (9CI) (CA INDEX NAME)

RN 331629-61-9 CAPLUS

CN 1H-Indole-2-carboxamide, N-[2-[[2,2-diphenyl-5-(4-phenyl-1-piperidinyl)pentyl]amino]-2-oxoethyl]- (9CI) (CA INDEX NAME)

RN 331629-62-0 CAPLUS

CN 1H-Indole-2-carboxamide, N-[2-[[2,2-diphenyl-5-(4-phenyl-1-piperidinyl)pentyl]amino]-2-oxoethyl]-1-methyl- (9CI) (CA INDEX NAME)

RN 331629-63-1 CAPLUS

CN 2-Benzofurancarboxamide, N-[2-[[2,2-diphenyl-5-(4-phenyl-1-piperidinyl)pentyl]amino]-2-oxoethyl]- (9CI) (CA INDEX NAME)

RN 331629-64-2 CAPLUS

CN 1H-Indole-2-carboxamide, 5-chloro-N-[2-[[2,2-diphenyl-5-(4-phenyl-1-piperidinyl)pentyl]amino]-2-oxoethyl]- (9CI) (CA INDEX NAME)

RN 331629-65-3 CAPLUS

CN 2-Naphthalenecarboxamide, N-[2-[[2,2-diphenyl-5-(4-phenyl-1-piperidinyl)pentyl]amino]-2-oxoethyl]- (9CI) (CA INDEX NAME)

RN 331629-66-4 CAPLUS

CN 2-Naphthalenecarboxamide, N-[2-[[2,2-diphenyl-5-(4-phenyl-1-piperidinyl)pentyl]amino]-2-oxoethyl]-1-hydroxy- (9CI) (CA INDEX NAME)

RN 331629-67-5 CAPLUS

CN 2-Naphthalenecarboxamide, N-[2-[[2,2-diphenyl-5-(4-phenyl-1-piperidinyl)pentyl]amino]-2-oxoethyl]-3-hydroxy- (9CI) (CA INDEX NAME)

RN 331629-68-6 CAPLUS

CN Glycinamide, N-phenyl-N-(trifluoroacetyl)glycyl-N-[2,2-diphenyl-5-(4-phenyl-1-piperidinyl)pentyl]- (9CI) (CA INDEX NAME)

RN 331629-69-7 CAPLUS

CN 1H-Indole-3-carboxamide, N-[2-[[2,2-diphenyl-5-(4-phenyl-1-piperidinyl)pentyl]amino]-2-oxoethyl]- (9CI) (CA INDEX NAME)

RN 331629-70-0 CAPLUS

CN [1,1'-Biphenyl]-4-carboxamide, N-[2-[[2,2-diphenyl-5-(4-phenyl-1-piperidinyl)pentyl]amino]-2-oxoethyl]- (9CI) (CA INDEX NAME)

RN 331629-71-1 CAPLUS

CN Benzamide, N-[2-[[2,2-diphenyl-5-(4-phenyl-1-piperidinyl)pentyl]amino]-2-oxoethyl]- (9CI) (CA INDEX NAME)

RN 331629-72-2 CAPLUS

CN Benzenepropanamide, N-[2-[[2,2-diphenyl-5-(4-phenyl-1-piperidinyl)pentyl]amino]-2-oxoethyl]- (9CI) (CA INDEX NAME)

RN 331629-73-3 CAPLUS

CN Carbamic acid, [2-[[2,2-diphenyl-5-(4-phenyl-1-piperidinyl)pentyl]amino]-2-oxoethyl]-, (4-nitrophenyl)methyl ester (9CI) (CA INDEX NAME)

RN 331629-74-4 CAPLUS

CN Carbamic acid, [2-[[2,2-diphenyl-5-(4-phenyl-1-piperidinyl)pentyl]amino]-2-oxoethyl]-, (4-chlorophenyl)methyl ester (9CI) (CA INDEX NAME)

RN 331629-75-5 CAPLUS

CN Carbamic acid, [2-[[2,2-diphenyl-5-(4-phenyl-1-piperidinyl)pentyl]amino]-2-oxoethyl]-, (3-chlorophenyl)methyl ester (9CI) (CA INDEX NAME)

RN 331629-76-6 CAPLUS

CN Benzeneacetamide, 2-[[2-[[2,2-diphenyl-5-(4-phenyl-1-piperidinyl)pentyl]amino]-2-oxoethyl]amino]- (9CI) (CA INDEX NAME)

RN 331629-77-7 CAPLUS

CN Carbamic acid, [2-[[2,2-diphenyl-5-(4-phenyl-1-piperidinyl)pentyl]amino]-2-oxoethyl]-, (2-chlorophenyl)methyl ester (9CI) (CA INDEX NAME)

RN 331629-78-8 CAPLUS

CN Carbamic acid, [2-[[2,2-diphenyl-5-(4-phenyl-1-piperidinyl)pentyl]amino]-2-oxoethyl]-, (4-methoxyphenyl)methyl ester (9CI) (CA INDEX NAME)

RN 331629-79-9 CAPLUS

CN Carbamic acid, [2-[[2,2-diphenyl-5-(4-phenyl-1-piperidinyl)pentyl]amino]-2-oxoethyl]-, (3-methoxyphenyl)methyl ester (9CI) (CA INDEX NAME)

RN 331629-80-2 CAPLUS

CN Carbamic acid, [2-[[2,2-diphenyl-5-(4-phenyl-1-piperidinyl)pentyl]amino]-2-oxoethyl]-, (2-methoxyphenyl)methyl ester (9CI) (CA INDEX NAME)

RN 331629-82-4 CAPLUS

CN Acetamide, N-[2,2-diphenyl-5-(4-phenyl-1-piperidinyl)pentyl]-2-[[[(phenylmethyl)amino]carbonyl]amino]- (9CI) (CA INDEX NAME)

RN 331629-83-5 CAPLUS

CN Benzenepentanamide, N-[2,2-diphenyl-5-(4-phenyl-1-piperidinyl)pentyl]-8-hydroxy- (9CI) (CA INDEX NAME)

RN 331629-84-6 CAPLUS

CN Butanediamide, N-[2,2-diphenyl-5-(4-phenyl-1-piperidinyl)pentyl]-N'-(phenylmethyl)- (9CI) (CA INDEX NAME)

RN 331629-85-7 CAPLUS

CN Benzeneacetamide, N-[3-[[2,2-diphenyl-5-(4-phenyl-1-piperidinyl)pentyl]amino]-3-oxopropyl]- (9CI) (CA INDEX NAME)

RN 331629-86-8 CAPLUS

CN Benzamide, N-[4-[[2,2-diphenyl-5-(4-phenyl-1-piperidinyl)pentyl]amino]-4-oxobutyl]- (9CI) (CA INDEX NAME)

RN 331629-87-9 CAPLUS

CN Carbonic acid, 2-[[2,2-diphenyl-5-(4-phenyl-1-piperidinyl)pentyl]amino]-2-oxoethyl phenylmethyl ester (9CI) (CA INDEX NAME)

RN 331629-88-0 CAPLUS

CN 2-Thiophenecarboxamide, N-[2,2-diphenyl-5-(4-phenyl-1-piperidinyl)pentyl]-5-phenyl- (9CI) (CA INDEX NAME)

RN 331629-89-1 CAPLUS

CN 2-Furancarboxamide, N-[2,2-diphenyl-5-(4-phenyl-1-piperidinyl)pentyl]-5-phenyl- (9CI) (CA INDEX NAME)

RN 331629-90-4 CAPLUS

CN [1,1'-Biphenyl]-3-carboxamide, N-[2,2-diphenyl-5-(4-phenyl-1-piperidinyl)pentyl]- (9CI) (CA INDEX NAME)

RN 331629-91-5 CAPLUS

CN Glycinamide, L-phenylalanyl-N-[2,2-diphenyl-5-(4-phenyl-1-piperidinyl)pentyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 331629-92-6 CAPLUS

CN Carbamic acid, [2-[[2,2-diphenyl-5-(4-phenyl-1-piperidinyl)pentyl]methylamino]-2-oxoethyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)

RN 331629-93-7 CAPLUS

CN Carbamic acid, [2-[[5-[4-(3-fluorophenyl)-1-piperidinyl]-2,2-diphenylpentyl]amino]-2-oxoethyl]-, phenylmethyl ester, monohydrochloride (9CI) (CA INDEX NAME)

HCl

RN 331629-94-8 CAPLUS

CN Carbamic acid, [2-[[5-[4-(2-fluorophenyl)-1-piperidinyl]-2,2-diphenylpentyl]amino]-2-oxoethyl]-, phenylmethyl ester, monohydrochloride (9CI) (CA INDEX NAME)

HCl

RN 331629-95-9 CAPLUS

CN Carbamic acid, [2-[[5-[4-(4-fluorophenyl)-1-piperidinyl]-2,2-diphenylpentyl]amino]-2-oxoethyl]-, phenylmethyl ester, monohydrochloride (9CI) (CA INDEX NAME)

● HCl

RN 331629-96-0 CAPLUS

CN Carbamic acid, [2-[[5-[4-(3-methoxyphenyl)-1-piperidinyl]-2,2-diphenylpentyl]amino]-2-oxoethyl]-, phenylmethyl ester, monohydrochloride (9CI) (CA INDEX NAME)

HCl

RN 331629-97-1 CAPLUS

CN Carbamic acid, [2-[[5-[4-(2-methoxyphenyl)-1-piperidinyl]-2,2-diphenylpentyl]amino]-2-oxoethyl]-, phenylmethyl ester, monohydrochloride (9CI) (CA INDEX NAME)

● HCl

RN 331629-98-2 CAPLUS

CN Carbamic acid, [2-[[5-[4-(4-methoxyphenyl)-1-piperidinyl]-2,2-diphenylpentyl]amino]-2-oxoethyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)

RN 331629-99-3 CAPLUS

CN Carbamic acid, [2-[[2,2-diphenyl-5-[4-[3-(trifluoromethyl)phenyl]-1-piperidinyl]pentyl]amino]-2-oxoethyl]-, phenylmethyl ester, monohydrochloride (9CI) (CA INDEX NAME)

HCl

RN 331630-00-3 CAPLUS

CN Carbamic acid, [2-[[5-(4-methyl-4-phenyl-1-piperidinyl)-2,2-diphenylpentyl]amino]-2-oxoethyl]-, phenylmethyl ester, monohydrochloride (9CI) (CA INDEX NAME)

● HCl

RN 331630-13-8 CAPLUS

CN Carbamic acid, [2-[[2,2-diphenyl-4-(4-phenyl-1-piperidinyl)butyl]amino]-2-oxoethyl]-, phenylmethyl ester, monohydrochloride (9CI) (CA INDEX NAME)

● HCl

RN 331630-14-9 CAPLUS

CN Benzo[b]thiophene-2-carboxamide, N-[2-[[2,2-diphenyl-5-(4-phenyl-1-piperidinyl)pentyl]amino]-2-oxoethyl]- (9CI) (CA INDEX NAME)

RN 331630-15-0 CAPLUS
CN 1H-Indole-4-carboxamide, N-[2-[[2,2-diphenyl-5-(4-phenyl-1-piperidinyl)pentyl]amino]-2-oxoethyl]- (9CI) (CA INDEX NAME)

PAGE 1-A

PAGE 2-A

RN 331630-16-1 CAPLUS
CN 1H-Indole-5-carboxamide, N-[2-[[2,2-diphenyl-5-(4-phenyl-1-piperidinyl)pentyl]amino]-2-oxoethyl]- (9CI) (CA INDEX NAME)

RN 331630-17-2 CAPLUS

CN 1H-Indole-6-carboxamide, N-[2-[[2,2-diphenyl-5-(4-phenyl-1-piperidinyl)pentyl]amino]-2-oxoethyl]- (9CI) (CA INDEX NAME)

RN 331630-18-3 CAPLUS

CN 1H-Indole-7-carboxamide, N-[2-[[2,2-diphenyl-5-(4-phenyl-1-piperidinyl)pentyl]amino]-2-oxoethyl]- (9CI) (CA INDEX NAME)

PAGE 1-A

PAGE 2-A

RN 331630-20-7 CAPLUS

CN Carbamic acid, [2-[[2,2-bis(4-chlorophenyl)-5-(4-phenyl-1-piperidinyl)pentyl]amino]-2-oxoethyl]-, (3-chlorophenyl)methyl ester (9CI) (CA INDEX NAME)

RN 331630-21-8 CAPLUS

CN 1H-Indole-2-carboxamide, N-[2-[[2,2-bis(4-chlorophenyl)-5-(4-phenyl-1-piperidinyl)pentyl]amino]-2-oxoethyl]- (9CI) (CA INDEX NAME)

RN 331630-22-9 CAPLUS

CN 1H-Indole-2-carboxamide, N-[2-[[2,2-bis(4-chlorophenyl)-5-(4-phenyl-1-piperidinyl)pentyl]amino]-2-oxoethyl]-5-chloro- (9CI) (CA INDEX NAME)

RN 331630-23-0 CAPLUS

CN 1H-Indole-2-carboxamide, N-[2-[[2,2-bis(4-chlorophenyl)-5-(4-phenyl-1-piperidinyl)pentyl]amino]-2-oxoethyl]-1-methyl- (9CI) (CA INDEX NAME)

RN 331630-24-1 CAPLUS

CN 1H-Indole-2-carboxamide, N-[2-[[2,2-bis(4-chlorophenyl)-5-(4-phenyl-1-piperidinyl)pentyl]amino]-2-oxoethyl]-5-fluoro- (9CI) (CA INDEX NAME)

RN 331630-25-2 CAPLUS

CN 1H-Indole-2-carboxamide, N-[2-[[2,2-bis(4-chlorophenyl)-5-(4-phenyl-1-piperidinyl)pentyl]amino]-2-oxoethyl]-5-methoxy- (9CI) (CA INDEX NAME)

RN 331630-26-3 CAPLUS

CN 1H-Indole-2-carboxamide, N-[2-[[2,2-bis(4-chlorophenyl)-5-(4-phenyl-1-piperidinyl)pentyl]amino]-2-oxoethyl]-5-hydroxy- (9CI) (CA INDEX NAME)

RN 331630-27-4 CAPLUS

CN Acetamide, N-[2-[[2,2-bis(4-chlorophenyl)-5-(4-phenyl-1-piperidinyl)pentyl]amino]-2-oxoethyl]-2-phenoxy- (9CI) (CA INDEX NAME)

RN 331630-31-0 CAPLUS

CN Carbamic acid, [2-[[5-[4-(2-fluorophenyl)-1-piperidinyl]-2,2-diphenylpentyl]amino]-2-oxoethyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

RN 331630-32-1 CAPLUS

CN 1H-Indole-2-carboxamide, N-[2-[[5-[4-(2-fluorophenyl)-1-piperidinyl]-2,2-diphenylpentyl]amino]-2-oxoethyl]- (9CI) (CA INDEX NAME)

RN 331630-33-2 CAPLUS

CN 1H-Indole-2-carboxamide, N-[2-[[5-[4-(2-fluorophenyl)-1-piperidinyl]-2,2-diphenylpentyl]amino]-2-oxoethyl]-1-methyl- (9CI) (CA INDEX NAME)

RN 331630-34-3 CAPLUS

CN 1H-Indole-2-carboxamide, 5-chloro-N-[2-[[5-[4-(2-fluorophenyl)-1-piperidinyl]-2,2-diphenylpentyl]amino]-2-oxoethyl]-1-methyl- (9CI) (CA INDEX NAME)

RN 331630-35-4 CAPLUS

CN 1H-Indole-2-carboxamide, 5-chloro-N-[2-[[5-[4-(2-fluorophenyl)-1-piperidinyl]-2,2-diphenylpentyl]amino]-2-oxoethyl]- (9CI) (CA INDEX NAME)

RN 331630-36-5 CAPLUS

CN Carbamic acid, [2-[[2,2-bis(4-chlorophenyl)-5-[4-(2-fluorophenyl)-1-piperidinyl]pentyl]amino]-2-oxoethyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

RN 331630-37-6 CAPLUS

CN 1H-Indole-2-carboxamide, N-[2-[[2,2-bis(4-chloropheny1)-5-[4-(2-fluoropheny1)-1-piperidinyl]pentyl]amino]-2-oxoethyl]-5-fluoro- (9CI) (CA INDEX NAME)

RN 331630-38-7 CAPLUS

CN 1H-Indole-2-carboxamide, N-[2-[[2,2-bis(4-chlorophenyl)-5-[4-(2-fluorophenyl)-1-piperidinyl]pentyl]amino]-2-oxoethyl]-5-methoxy- (9CI) (CA INDEX NAME)

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RN 331630-39-8 CAPLUS

CN 1H-Indole-2-carboxamide, N-[2-[[2,2-bis(4-chlorophenyl)-5-[4-(2-fluorophenyl)-1-piperidinyl]pentyl]amino]-2-oxoethyl]- (9CI) (CA INDEX NAME)

RN 331630-40-1 CAPLUS

CN Carbamic acid, [2-[[2,2-bis(4-fluorophenyl)-5-(4-phenyl-1-piperidinyl)pentyl]amino]-2-oxoethyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

RN 331630-41-2 CAPLUS

CN 1H-Indole-2-carboxamide, N-[2-[[2,2-bis(4-fluorophenyl)-5-(4-phenyl-1-piperidinyl)pentyl]amino]-2-oxoethyl]- (9CI) (CA INDEX NAME)

RN 331630-42-3 CAPLUS

CN 1H-Indole-2-carboxamide, N-[2-[[2,2-bis(4-fluorophenyl)-5-(4-phenyl-1-piperidinyl)pentyl]amino]-2-oxoethyl]-5-chloro- (9CI) (CA INDEX NAME)

RN 331630-43-4 CAPLUS

CN Carbamic acid, [2-[[2,2-bis(4-fluorophenyl)-5-[4-(2-methoxyphenyl)-1-piperidinyl]pentyl]amino]-2-oxoethyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

RN 331630-44-5 CAPLUS

CN 1H-Indole-2-carboxamide, N-[2-[[2,2-bis(4-fluorophenyl)-5-[4-(2-methoxyphenyl)-1-piperidinyl]pentyl]amino]-2-oxoethyl]- (9CI) (CA INDEX NAME)

RN 331630-45-6 CAPLUS

CN 1H-Indole-2-carboxamide, N-[2-[[2,2-bis(4-fluoropheny1)-5-[4-(2-methoxypheny1)-1-piperidiny1]penty1]amino]-2-oxoethy1]-5-chloro- (9CI) (CA INDEX NAME)

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RN 331630-46-7 CAPLUS

CN Carbamic acid, [2-[[2,2-bis(4-fluorophenyl)-5-[4-(2-fluorophenyl)-1-piperidinyl]pentyl]amino]-2-oxoethyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

RN 331630-47-8 CAPLUS

RN 331630-48-9 CAPLUS

CN 1H-Indole-2-carboxamide, N-[2-[[2,2-bis(4-fluorophenyl)-5-[4-(2-fluorophenyl)-1-piperidinyl]pentyl]amino]-2-oxoethyl]-5-chloro- (9CI) (CA INDEX NAME)

RN 331630-49-0 CAPLUS

CN Formamide, N-[5-[4-(4-fluorophenyl)-1-piperazinyl]-2,2-diphenylpentyl]- (9CI) (CA INDEX NAME)

RN 331630-51-4 CAPLUS

CN Formamide, N-[2-(4-chlorophenyl)-2-phenyl-5-(4-phenyl-1-piperidinyl)pentyl]- (9CI) (CA INDEX NAME)

RN 331630-52-5 CAPLUS

CN Formamide, N-[2-(4-chlorophenyl)-2-phenyl-5-(4-phenyl-1-piperazinyl)pentyl]- (9CI) (CA INDEX NAME)

RN 331630-53-6 CAPLUS

CN Formamide, N-[2-(4-chlorophenyl)-5-[4-(4-fluorophenyl)-1-piperazinyl]-2-phenylpentyl]- (9CI) (CA INDEX NAME)

RN 331630-54-7 CAPLUS

CN Formamide, N-[2-(4-methoxyphenyl)-2-phenyl-5-(4-phenyl-1-piperidinyl)pentyl]- (9CI) (CA INDEX NAME)

RN 331630-55-8 CAPLUS

CN Formamide, N-[2,2-bis(4-chlorophenyl)-5-[4-(4-fluorophenyl)-1-piperazinyl]pentyl]- (9CI) (CA INDEX NAME)

RN 331630-56-9 CAPLUS

CN Formamide, N-[6-[4-(4-fluorophenyl)-1-piperazinyl]-2,2-diphenylhexyl]-(9CI) (CA INDEX NAME)

RN 331630-57-0 CAPLUS

CN Formamide, N-[6-[4-(4-fluorophenyl)-1-piperazinyl]-3,3-diphenylhexyl]- (9CI) (CA INDEX NAME)

RN 331630-59-2 CAPLUS

CN Formamide, N-[5-[4-(4-chlorophenyl)-4-hydroxy-1-piperidinyl]-2,2-diphenylpentyl]- (9CI) (CA INDEX NAME)

RN 331630-60-5 CAPLUS

CN Formamide, N-[5-(4-hydroxy-4-phenyl-1-piperidinyl)-2,2-diphenylpentyl]-(9CI) (CA INDEX NAME)

RN 331630-61-6 CAPLUS

CN Formamide, N-[5-[4-hydroxy-4-[4-(trifluoromethyl)phenyl]-1-piperidinyl]-2,2-diphenylpentyl]- (9CI) (CA INDEX NAME)

RN 331630-62-7 CAPLUS

CN Formamide, N-[5-[4-[3,5-bis(trifluoromethyl)phenyl]-4-hydroxy-1-piperidinyl]-2,2-diphenylpentyl]- (9CI) (CA INDEX NAME)

RN 331630-63-8 CAPLUS

CN Formamide, N-[5-[4-(3,5-dichlorophenyl)-4-hydroxy-1-piperidinyl]-2,2-diphenylpentyl]- (9CI) (CA INDEX NAME)

RN 331630-65-0 CAPLUS

CN Formamide, N-[5-[4-(4-chlorophenyl)-1-piperidinyl]-2,2-diphenylpentyl]- (9CI) (CA INDEX NAME)

RN 331630-66-1 CAPLUS

CN Formamide, N-[7-[4-(4-chlorophenyl)-4-hydroxy-1-piperidinyl]-4,4-diphenylheptyl]- (9CI) (CA INDEX NAME)

RN 331630-67-2 CAPLUS

CN Formamide, N-[5-[4-(4-fluorophenyl)-4-hydroxy-1-piperidinyl]-2,2-diphenylpentyl]- (9CI) (CA INDEX NAME)

RN 331630-68-3 CAPLUS

CN Formamide, N-[5-[4-hydroxy-4-(4-methoxyphenyl)-1-piperidinyl]-2,2-diphenylpentyl]- (9CI) (CA INDEX NAME)

RN 331630-70-7 CAPLUS

CN Acetamide, N-[5-[4-(4-chlorophenyl)-4-hydroxy-1-piperidinyl]-2,2-diphenylpentyl]- (9CI) (CA INDEX NAME)

RN 331630-74-1 CAPLUS

CN Acetic acid, [[5-[4-(4-chlorophenyl)-4-hydroxy-1-piperidinyl]-2,2-diphenylpentyl]amino]oxo-, ethyl ester (9CI) (CA INDEX NAME)

RN 331630-75-2 CAPLUS

CN. Propanoic acid, 3-[[5-[4-(4-chlorophenyl)-4-hydroxy-1-piperidinyl]-2,2-diphenylpentyl]amino]-3-oxo-, ethyl ester (9CI) (CA INDEX NAME)

RN 331630-77-4 CAPLUS

CN Acetamide, N-[4,4-diphenyl-7-(4-phenyl-1-piperidinyl)heptyl]- (9CI) (CA INDEX NAME)

RN 331630-81-0 CAPLUS

CN Benzeneacetamide, N-[4,4-diphenyl-7-(4-phenyl-1-piperidinyl)heptyl]- (9CI) (CA INDEX NAME)

RN 331630-84-3 CAPLUS

CN Carbamic acid, [2-[[5-[4-(3-fluorophenyl)-1-piperidinyl]-2,2-diphenylpentyl]amino]-2-oxoethyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)

RN 331630-85-4 CAPLUS

CN Carbamic acid, [2-[[5-[4-(2-fluorophenyl)-1-piperidinyl]-2,2-diphenylpentyl]amino]-2-oxoethyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)

RN 331630-86-5 CAPLUS

CN Carbamic acid, [2-[[5-[4-(2-methoxyphenyl)-1-piperidinyl]-2,2-diphenylpentyl]amino]-2-oxoethyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)

RN 331630-87-6 CAPLUS

CN 4-Piperidinamine, N-[[-[5-[4-(4-chlorophenyl)-4-hydroxy-1-piperidinyl]-2,2-diphenylpentyl]amino]carbonyl]-1-[[2-(3-pyridinyl)-1-

pyrrolidinyl]carbonyl]- (9CI) (CA INDEX NAME)

IT 331629-60-8P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of diarylalakanediamine derivs. as melanin concentrating hormone (MCH) $\,$

antagonists for treating MCH-caused diseases)

RN 331629-60-8 CAPLUS

CN Acetamide, 2-amino-N-[2,2-diphenyl-5-(4-phenyl-1-piperidinyl)pentyl]-, monohydrochloride (9CI) (CA INDEX NAME)

HCl

REFERENCE COUNT:

THERE ARE 12 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 3 OF 9 CAPLUS COPYRIGHT 2004 ACS on STN

GI

$$NC - CH_2 - N$$

Ph

Ph

Ph

PC6H4 - C1

Ι

Ligands for the CCR1 receptor (MIP- 1α and RANTES) have been AB implicated in a number of chronic inflammatory diseases, most notably multiple sclerosis and rheumatoid arthritis. Because these ligands share a common receptor, CCR1, we sought to discover antagonists for this receptor as an approach to treating these disorders. A novel series of 4-hydroxypiperidines has been discovered by high throughput screening (HTS) which potently inhibits the binding of MIP-1 α and RANTES to the recombinant human CCR1 chemokine receptor. The structure-activity relationships of various segments of this template are described as the initial HTS lead was optimized synthetically to the highly potent receptor antagonist I. This compound has been shown to have at least 200-fold selectivity for inhibition of CCR1 over other human 7-TM receptors, including other chemokine receptors. In addition, data obtained from in vitro functional assays demonstrate the functional antagonism of compound I and structurally related analogs against the CCR1 receptor in a concentration dependent manner. The discovery and optimization of potent and selective CCR1 receptor antagonists represented by compound I potentially represent a novel approach to the treatment of chronic inflammatory diseases.

ACCESSION NUMBER: 1999:643381 CAPLUS

DOCUMENT NUMBER: 132:8707

TITLE: Discovery of Novel Non-Peptide CCR1 Receptor

Antagonists

AUTHOR(S): Ng, Howard P.; May, Karen; Bauman, John G.; Ghannam,

Ameen; Islam, Imadul; Liang, Meina; Horuk, Richard; Hesselgesser, Joseph; Snider, R. Michael; Perez, H.

Daniel; Morrissey, Michael M.

CORPORATE SOURCE: Departments of Discovery Research and Immunology,

Berlex Biosciences, Richmond, CA, 94804-0099, USA

SOURCE: Journal of Medicinal Chemistry (1999), 42(22),

4680-4694

CODEN: JMCMAR; ISSN: 0022-2623

PUBLISHER: American Chemical Society

DOCUMENT TYPE: Journal LANGUAGE: English

IT 193542-13-1P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of and structure-activity studies on non-peptide CCR1 receptor antagonists)

RN 193542-13-1 CAPLUS

CN Urea, N-[5-[4-(4-chlorophenyl)-4-hydroxy-1-piperidinyl]-2,2-diphenylpentyl]-N'-4-piperidinyl- (9CI) (CA INDEX NAME)

REFERENCE COUNT:

32 THERE ARE 32 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 4 OF 9 CAPLUS COPYRIGHT 2004 ACS on STN

GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

The title compds., e.g. I [X, Y = (halo-substituted)] Ph, pyridyl; R1 = (halogenated) alkyl, etc.], are prepared In an in vitro test for RANTES

antagonism, the title compound II showed IC50 of 0.02 µM.

ACCESSION NUMBER: 1999:188995 CAPLUS

DOCUMENT NUMBER: 130:237480

TITLE: Preparation and formulation of hydroxypiperidine

derivatives as MIP- 1α and RANTES antagonists

INVENTOR(S): Kato, Kaneyoshi; Tsukamoto, Tetsuya; Honda, Susumu;

Watanabe, Masanori

PATENT ASSIGNEE(S): Takeda Chemical Industries, Ltd., Japan

Jpn. Kokai Tokkyo Koho, 39 pp. SOURCE:

CODEN: JKXXAF.

DOCUMENT TYPE: Patent LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

PATENT NO. KIND DATE APPLICATION NO. DATE JP 11071350 A2 19990316 JP 1998-168003 19980616 PRIORITY APPLN. INFO.: JP 1997-160260 19970617 OTHER SOURCE(S): MARPAT 130:237480

221338-86-9P 221338-90-5P 221338-95-0P 221339-00-0P 221339-03-3P 221339-07-7P 221339-11-3P 221339-13-5P 221339-17-9P 221339-21-5P 221339-25-9P 221339-30-6P 221339-32-8P 221339-34-0P 221339-47-5P

221339-50-0P 221339-54-4P 221339-69-1P 221339-72-6P 221339-75-9P 221339-78-2P

221339-79-3P 221339-81-7P 221339-82-8P

221339-83-9P 221339-84-0P 221339-86-2P 221339-87-3P 221339-89-5P 221339-90-8P

221339-92-0P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of hydroxypiperidine derivs. as MIP-1 α and RANTES antagonists)

RN 221338-86-9 CAPLUS

CN Carbamic acid, [5-[4-(4-chlorophenyl)-4-hydroxy-1-piperidinyl]-2,2diphenylpentyl]-, 4-piperidinyl ester (9CT) (CA INDEX NAME)

RN.221338-90-5 CAPLUS CN Carbamic acid, [5-[4-(4-chlorophenyl)-4-hydroxy-1-piperidinyl]-2,2-diphenylpentyl]-, 1-[(ethylamino)carbonyl]-4-piperidinyl ester (9CI) (CA INDEX NAME)

RN 221338-95-0 CAPLUS

CN Carbamic acid, [5-[4-(4-chlorophenyl)-4-hydroxy-1-piperidinyl]-2,2-diphenylpentyl]-, 1-(3-pyridinylcarbonyl)-4-piperidinyl ester (9CI) (CA INDEX NAME)

RN 221339-00-0 CAPLUS

CN 1-Piperidinecarboxylic acid, 4-[[[[5-[4-(4-chlorophenyl)-4-hydroxy-1-piperidinyl]-2,2-diphenylpentyl]amino]carbonyl]amino]-, 2-chloroethyl ester (9CI) (CA INDEX NAME)

PAGE 1-B

--- CH2Cl

RN 221339-03-3 CAPLUS

CN 4-Piperidinamine, 1-[(acetyloxy)acetyl]-N-[[[5-[4-(4-chlorophenyl)-4-hydroxy-1-piperidinyl]-2,2-diphenylpentyl]amino]carbonyl]- (9CI) (CA

INDEX NAME)

RN 221339-07-7 CAPLUS

CN 4-Piperidinamine, N-[[[5-[4-(4-chlorophenyl)-4-hydroxy-1-piperidinyl]-2,2-diphenylpentyl].amino]carbonyl]-1-(3-pyridinylcarbonyl)- (9CI) (CA INDEX NAME)

RN 221339-11-3 CAPLUS

CN 4-Piperidinamine, N-[[[5-[4-(4-chlorophenyl)-4-hydroxy-1-piperidinyl]-2,2-diphenylpentyl]amino]carbonyl]-1-(4-pyridinylcarbonyl)- (9CI) (CA INDEX NAME)

RN 221339-13-5 CAPLUS

CN 4-Piperidinamine, 1-benzoyl-N-[[[5-[4-(4-chlorophenyl)-4-hydroxy-1-piperidinyl]-2,2-diphenylpentyl]amino]carbonyl]- (9CI) (CA INDEX NAME)

RN 221339-17-9 CAPLUS

CN 4-Piperidinamine, N-[[[5-[4-(4-chlorophenyl)-4-hydroxy-1-piperidinyl]-2,2-diphenylpentyl]amino]carbonyl]-1-(hydroxyacetyl)- (9CI) (CA INDEX NAME)

RN 221339-21-5 CAPLUS

CN 1-Piperidinecarboxylic acid, 4-[[[[5-[4-(4-chlorophenyl)-4-hydroxy-1-piperidinyl]-2,2-diphenylpentyl]amino]carbonyl]amino]-, 2-(1-pyrrolidinyl)ethyl ester (9CI) (CA INDEX NAME)

PAGE 1-A

PAGE 1-B

RN 221339-25-9 CAPLUS

CN 3-Pyridinecarboxamide, N-[5-[4-(4-chlorophenyl)-4-hydroxy-1-piperidinyl]-2,2-diphenylpentyl]-, dihydrochloride (9CI) (CA INDEX NAME)

2 HCl

RN 221339-30-6 CAPLUS

CN 1-Piperidinecarboxylic acid, 4-[2-[[5-[4-(4-chlorophenyl)-4-hydroxy-1-piperidinyl]-2,2-diphenylpentyl]amino]-2-oxoethylidene]-,
1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

RN 221339-32-8 CAPLUS

CN 4-Piperidineacetamide, N-[5-[4-(4-chlorophenyl)-4-hydroxy-1-piperidinyl]-2,2-diphenylpentyl]-, dihydrochloride (9CI) (CA INDEX NAME)

●2 HCl

RN 221339-34-0 CAPLUS

CN Acetamide, N-[5-[4-(4-chlorophenyl)-4-hydroxy-1-piperidinyl]-2,2-diphenylpentyl]-2-(4-piperidinylidene)-, dihydrochloride (9CI) (CA INDEX NAME)

2 HCl

RN 221339-47-5 CAPLUS

CN 4-Piperidinecarboxamide, N-[5-[4-(4-chlorophenyl)-4-hydroxy-1-piperidinyl]-2,2-bis(4-fluorophenyl)pentyl]-1-(4-pyridinylcarbonyl)-, dihydrochloride (9CI) (CA INDEX NAME)

●2 HCl

RN 221339-50-0 CAPLUS

CN 4-Piperidinecarboxamide, N-[5-[4-(4-chlorophenyl)-4-hydroxy-1-piperidinyl]-2-(4-fluorophenyl)-2-phenylpentyl]-1-(4-pyridinylcarbonyl)- (9CI) (CA INDEX NAME)

RN 221339-54-4 CAPLUS

CN 4-Piperidinecarboxamide, N-[5-[4-(4-chlorophenyl)-4-hydroxy-1-piperidinyl]-2-(4-fluorophenyl)-2-phenylpentyl]-1-(4-pyridinylcarbonyl)-, dihydrochloride (9CI) (CA INDEX NAME)

●2 HCl

RN 221339-69-1 CAPLUS

CN 4-Piperidinamine, N-[[[5-[4-(4-chlorophenyl)-4-hydroxy-1-piperidinyl]-2,2-bis(4-fluorophenyl)pentyl]amino]carbonyl]-1-(4-pyridinylcarbonyl)- (9CI) (CA INDEX NAME)

RN 221339-72-6 CAPLUS

CN 4-Piperidinamine, N-[[[5-[4-(4-chlorophenyl)-4-hydroxy-1-piperidinyl]-2,2-bis(4-fluorophenyl)pentyl]amino]carbonyl]-1-(4-pyridinylcarbonyl)-, dihydrochloride (9CI) (CA INDEX NAME)

•2 HCl

RN 221339-75-9 CAPLUS

CN Urea, N-[5-[4-(4-chlorophenyl)-4-hydroxy-1-piperidinyl]-2,2-bis(4-fluorophenyl)pentyl]-N'-(3-hydroxypropyl)- (9CI) (CA INDEX NAME)

RN 221339-78-2 CAPLUS

CN 4-Piperidinamine, N-[[[5-[4-(4-chlorophenyl)-4-hydroxy-1-piperidinyl]-2,2-bis(4-fluorophenyl)pentyl]amino]carbonyl]-1-(3-pyridinylcarbonyl)- (9CI) (CA INDEX NAME)

RN 221339-79-3 CAPLUS

CN 4-Piperidinamine, N-[[[5-[4-(4-chlorophenyl)-4-hydroxy-1-piperidinyl]-2-(4-fluorophenyl)-2-phenylpentyl]amino]carbonyl]-1-(4-pyridinylcarbonyl)(9CI) (CA INDEX NAME)

RN 221339-81-7 CAPLUS

CN 4-Piperidinamine, N-[[[5-[4-(4-chlorophenyl)-4-hydroxy-1-piperidinyl]-2-(4-fluorophenyl)-2-phenylpentyl]amino]carbonyl]-1-(4-pyridinylcarbonyl)-, dihydrochloride (9CI) (CA INDEX NAME)

2 HCl

RN 221339-82-8 CAPLUS

CN Urea, N-[5-[4-(4-chlorophenyl)-4-hydroxy-1-piperidinyl]-2-(4-fluorophenyl)-2-phenylpentyl]-N'-(3-hydroxypropyl)- (9CI) (CA INDEX NAME)

RN 221339-83-9 CAPLUS

CN Urea, N-[5-[4-(4-chlorophenyl)-4-hydroxy-1-piperidinyl]-2-(4-fluorophenyl)-2-phenylpentyl]-N'-(3-hydroxypropyl)-, monohydrochloride (9CI) (CA INDEX NAME)

HC1

RN 221339-84-0 CAPLUS

CN 4-Piperidinamine, N-[[[5-[4-(4-chlorophenyl)-4-hydroxy-1-piperidinyl]-2-(4-fluorophenyl)-2-phenylpentyl]amino]carbonyl]-1-(3-pyridinylcarbonyl)-(9CI) (CA INDEX NAME)

RN 221339-86-2 CAPLUS

CN 4-Piperidinamine, N-[[[5-[4-(4-chlorophenyl)-4-hydroxy-1-piperidinyl]-2-(4-fluorophenyl)-2-phenylpentyl]amino]carbonyl]-1-(3-pyridinylcarbonyl)-, dihydrochloride (9CI) (CA INDEX NAME)

•2 HCl

RN 221339-87-3 CAPLUS

CN Urea, [5-[4-(4-chlorophenyl)-4-hydroxy-1-piperidinyl]-2,2-bis(4-fluorophenyl)pentyl]- (9CI) (CA INDEX NAME)

RN 221339-89-5 CAPLUS

CN Urea, [5-[4-(4-chlorophenyl)-4-hydroxy-1-piperidinyl]-2,2-bis(4-

fluorophenyl)pentyl]-, monohydrochloride (9CI) (CA INDEX NAME)

● HCl

RN 221339-90-8 CAPLUS

CN Urea, [5-[4-(4-chlorophenyl)-4-hydroxy-1-piperidinyl]-2-(4-fluorophenyl)-2-phenylpentyl]- (9CI) (CA INDEX NAME)

RN 221339-92-0 CAPLUS

CN Urea, [5-[4-(4-chlorophenyl)-4-hydroxy-1-piperidinyl]-2-(4-fluorophenyl)-2-phenylpentyl]-, monohydrochloride (9CI) (CA INDEX NAME)

HCl

IT 193541-41-2P 193542-03-9P 193542-13-1P 221340-08-5P 221340-17-6P 221340-45-0P

221340-47-2P 221340-49-4P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of hydroxypiperidine derivs. as MIP-1 α and RANTES antagonists)

RN 193541-41-2 CAPLUS

CN Carbamic acid, [5-[4-(4-chlorophenyl)-4-hydroxy-1-piperidinyl]-2,2-diphenylpentyl]-, phenyl ester (9CI) (CA INDEX NAME)

RN 193542-03-9 CAPLUS

CN 4-Piperidinamine, N-[[[5-[4-(4-chlorophenyl)-4-hydroxy-1-piperidinyl]-2,2-diphenylpentyl]amino]carbonyl]-1-(trifluoroacetyl)- (9CI) (CA INDEX NAME)

RN 193542-13-1 CAPLUS

CN Urea, N-[5-[4-(4-chlorophenyl)-4-hydroxy-1-piperidinyl]-2,2-diphenylpentyl]-N'-4-piperidinyl- (9CI) (CA INDEX NAME)

RN 221340-08-5 CAPLUS

CN Carbamic acid, [5-[4-(4-chlorophenyl)-4-hydroxy-1-piperidinyl]-2,2-bis(4-fluorophenyl)pentyl]-, phenyl ester (9CI) (CA INDEX NAME)

RN 221340-17-6 CAPLUS

CN Carbamic acid, [5-[4-(4-chlorophenyl)-4-hydroxy-1-piperidinyl]-2-(4-fluorophenyl)-2-phenylpentyl]-, phenyl ester (9CI) (CA INDEX NAME)

RN 221340-45-0 CAPLUS

CN 1-Piperidinecarboxylic acid, 4-[[[[5-[4-(4-chlorophenyl)-4-hydroxy-1-piperidinyl]-2,2-diphenylpentyl]amino]carbonyl]oxy]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

RN 221340-47-2 CAPLUS

CN Carbamic acid, [5-[4-(4-chlorophenyl)-4-hydroxy-1-piperidinyl]-2,2-diphenylpentyl]-, 2-chloroethyl ester (9CI) (CA INDEX NAME)

RN 221340-49-4 CAPLUS

CN 1-Piperidinecarboxylic acid, 4-[2-[[5-[4-(4-chlorophenyl)-4-hydroxy-1-piperidinyl]-2,2-diphenylpentyl]amino]-2-oxoethyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

L6 ANSWER 5 OF 9 CAPLUS COPYRIGHT 2004 ACS on STN

The CC chemokines macrophage inflammatory protein-1α (MIP-1α) AB and RANTES (regulated on activation normal T cell expressed) have been implicated in rheumatoid arthritis and multiple sclerosis. Since their effects are mediated through the CCR1 chemokine receptor, we set up a small mol. CCR1 antagonist program to search for inhibitors. Through high capacity screening we discovered a number of 4-hydroxypiperidine compds. with CCR1 antagonist activity and report their synthesis and in vitro pharmacol. here. Scatchard anal. of the competition binding data revealed that the compds. had Ki values ranging from 40 to 4000 nM. The pharmacol. profile of the most potent member of this series, (2,2-diphenyl-5-(4chlorophenyl)piperidinlyl)valeronitrite (I), was further evaluated. Compound I showed concentration-dependent inhibition of MIP- 1α -induced extracellular acidification and Ca2+ mobilization demonstrating functional antagonism. When given alone, the compound did not elicit any responses, indicating the absence of intrinsic agonist activity. Compound I inhibited MIP- 1α - and RANTES-induced migration in peripheral blood mononuclear cells in a dose-responsive manner. Selectivity testing against a panel of seven transmembrane domain receptors indicated that compound I is inactive on a number of receptors at concns. up to 10 μM . This is the first description of CCR1 receptor antagonists that may be useful in the treatment of chronic inflammatory diseases involving MIP- 1α , RANTES, and CCR1.

1998:400595 CAPLUS ACCESSION NUMBER:

DOCUMENT NUMBER:

TITLE:

129:144656 Identification and characterization of small molecule

AUTHOR (S):

functional antagonists of the CCR1 chemokine receptor Hesselgesser, Joseph; Ng, Howard P.; Liang, Meina; Zheng, Wei; May, Karen; Bauman, John G.; Monahan, Sean; Islam, Imadul; Wei, Guo Ping; Ghannam, Ameen; Taub, Dennis D.; Rosser, Mary; Snider, R. Michael; Morrissey, Michael M.; Perez, H. Daniel; Horuk,

Richard CORPORATE SOURCE:

Department of Immunology, Berlex BioSciences,

Richmond, CA, 94806, USA

SOURCE:

Journal of Biological Chemistry (1998), 273(25),

15687-15692

CODEN: JBCHA3; ISSN: 0021-9258

PUBLISHER:

American Society for Biochemistry and Molecular

Biology

DOCUMENT TYPE:

Journal

LANGUAGE:

English

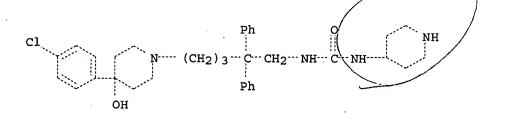
193542-13-1P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); PRP (Properties); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)

(preparation and characterization of 4-hydroxypiperidine compds. as functional antagonists of CCR1 chemokine receptor)

RN 193542-13-1 CAPLUS

CN Urea, N-[5-[4-(4-chlorophenyl)-4-hydroxy-1-piperidinyl]-2,2diphenylpentyl]-N'-4-piperidinyl- (9CI) (CA INDEX NAME)



REFERENCE COUNT:

THERE ARE 29 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 6 OF 9 CAPLUS COPYRIGHT 2004 ACS on STN GI

AB Compds. which are MIP-1α/RANTES-receptor antagonists are disclosed, specifically I [Ar1, Ar2 = (un)substituted aromatic group; Q1, Q2 = (un)substituted divalent C1-6 aliphatic hydrocarbon group which may have either O or S within the C chain; R1 = H, (un)substituted alkyl or (un)substituted alkylcarbonyl; R2 = (un)substituted hydrocarbon group or (un)substituted acyl; or NR1R2 = (un)substituted N-containing heterocyclic; NZ = (un)substituted N-containing mono- or fused heterocyclic group], and salts thereof. The compds. are useful for therapy or prophylaxis of inflammatory, allergic, and other diseases. Over 120 title compds., and a variety of intermediates, were prepared For instance, N-alkylation of 4-(4-chlorophenyl)-4-hydroxypiperidine by 5-(formylamino)-1-iodo-4,4-diphenylpentane in MeCN in the presence of K2CO3 at 60° gave title compound II, isolated as the monohydrochloride (III). III displaced 125I-RANTES from human RANTES receptors in vitro with an IC50 of 0.04 μM, vs. 3 μM for ioperamide.

ACCESSION NUMBER:

1997:543479 CAPLUS

DOCUMENT NUMBER:

127:161698

TITLE:

Heterocyclic diphenylmethane derivatives as

MIP-1α/RANTES receptor antagonists

INVENTOR (S):

Kato, Kaneyoshi; Yamamoto, Mitsuo; Honda, Susumu;

Fujisawa, Tomoyuki

PATENT ASSIGNEE(S):

Takeda Chemical Industries, Ltd., Japan; Kato,

Kaneyoshi; Yamamoto, Mitsuo; Honda, Susumu; Fujisawa,

Tomoyuki

SOURCE:

PCT Int. Appl., 250 pp.

CODEN: PIXXD2

DOCUMENT TYPE:

Patent -

LANGUAGE:

English

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

PATENT NO.				KIND DATE						APPL	ICATI	ON No	DATE				
WO	9724	A1 19970710					WO 1996-JP3820						19961226				
	W:	AL,	AM,	AU,	ΑZ,	BA,	BB,	BG,	BR	, BY	, CA,	CN,	CU,	CZ,	EE,	GE,	HU,
		IL,	IS,	KG,	KR,	ΚZ,	LC,	LK,	LR	, LT	, LV,	MD,	MG,	MK,	MN,	MX,	NO,
		NZ,	PL,	RO,	RU,	SG,	SI,	SK,	TJ	, TM	, TR,	TT,	UA,	US,	UΖ,	VN,	AM,
		ΑŻ,	BY,	KG,	ΚZ,	MD,	RU,	ТJ,	TM								
	RW:	KΕ,	LS,	MW,	SD,	SZ,	UG,	ΑT,	BE	, CH	, DE,	DK,	ES,	FI,	FR,	GB,	GR,
		ΙE,	IT,	LU,	MC,	NL,	PT,	SE,	BF	, BJ	, CF,	CG,	CI,	CM,	GΑ,	GN,	ML,
		MR,	NE,	SN,	TD,	TG											
AU 9712083				A1 19970728					AU 1997-12083					19961226			
JP 10081665 A2					2 :	19980331				JP 1996-349136				19961227			
PRIORITY APPLN. INFO.: JP 1995-343905													1995	1228			
•			•					į.	JP	1996	-1873	75		1996	0717		
					•			1	OW	1996	-JP38	20		1,996	1226		
OTHER SOURCE(S): MARPAT 127:161698																	

IT 193542-71-1P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(intermediate; preparation of heterocyclic diphenylmethane derivs. as $MIP-1\alpha/RANTES$ receptor antagonists)

RN 193542-71-1 CAPLUS

CN Propanamide, 3-chloro-N-[5-[4-(4-chlorophenyl)-4-hydroxy-1-piperidinyl]2,2-diphenylpentyl]- (9CI) (CA INDEX NAME)

IT 193541-41-2P 193541-68-3P 193541-69-4P

193541-72-9P 193541-76-3P 193542-03-9P

193542-13-1P 193542-43-7P 193542-44-8P

193542-45-9P 193546-11-1P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)

(preparation of heterocyclic diphenylmethane derivs. as MIP- 1α /RANTES receptor antagonists)

RN 193541-41-2 CAPLUS

CN Carbamic acid, [5-[4-(4-chlorophenyl)-4-hydroxy-1-piperidinyl]-2,2-diphenylpentyl]-, phenyl ester (9CI) (CA INDEX NAME)

RN 193541-68-3 CAPLUS

CN Carbamic acid, [3-[[5-[4-(4-chlorophenyl)-4-hydroxy-1-piperidinyl]-2,2-diphenylpentyl]amino]-3-oxopropyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

RN 193541-69-4 CAPLUS

CN Propanamide, 3-amino-N-[5-[4-(4-chlorophenyl)-4-hydroxy-1-piperidinyl]-2,2-diphenylpentyl]-, dihydrochloride (9CI) (CA INDEX NAME)

2 HCl

RN 193541-72-9 CAPLUS

CN Carbamic acid, [5-[4-(4-chlorophenyl)-4-hydroxy-1-piperidinyl]-5-oxo-2,2-diphenylpentyl]-, phenyl ester (9CI) (CA INDEX NAME)

RN 193541-76-3 CAPLUS

CN Butanoic acid, 4-[[5-[4-(4-chlorophenyl)-4-hydroxy-1-piperidinyl]-5-oxo-2,2-diphenylpentyl]amino]-4-oxo-, ethyl ester (9CI) (CA INDEX NAME)

RN 193542-03-9 CAPLUS

CN 4-Piperidinamine, N-[[[5-[4-(4-chlorophenyl)-4-hydroxy-1-piperidinyl]-2,2-diphenylpentyl]amino]carbonyl]-1-(trifluoroacetyl)- (9CI) (CA INDEX NAME)

RN 193542-13-1 CAPLUS

CN Urea, N-[5-[4-(4-chlorophenyl)-4-hydroxy-1-piperidinyl]-2,2-diphenylpentyl]-N'-4-piperidinyl- (9CI) (CA INDEX NAME)

RN 193542-43-7 CAPLUS

CN Butanoic acid, 4-[[5-[4-(4-chlorophenyl)-4-hydroxy-1-piperidinyl]-2,2-diphenylpentyl]amino]-4-oxo-, ethyl ester (9CI) (CA INDEX NAME)

RN 193542-44-8 CAPLUS

CN Formamide, N-[2,2-bis(4-chlorophenyl)-5-[4-(4-chlorophenyl)-4-hydroxy-1-piperidinyl]pentyl]- (9CI) (CA INDEX NAME)

RN 193542-45-9 CAPLUS

CN Butanoic acid, 4-[[2,2-bis(4-chlorophenyl)-5-[4-(4-chlorophenyl)-4-hydroxy-1-piperidinyl]pentyl]amino]-4-oxo-, ethyl ester (9CI) (CA INDEX NAME)

RN 193546-11-1 CAPLUS

CN Urea, N-[5-[4-(4-chlorophenyl)-4-hydroxy-1-piperidinyl]-2,2-diphenylpentyl]-N'-(3-hydroxypropyl)-, monohydrochloride (9CI) (CA INDEX NAME)

HC1

ΙT 173272-79-2P 193541-23-0P 193541-24-1P 193541-25-2P 193541-26-3P 193541-27-4P 193541-29-6P 193541-30-9P 193541-31-0P 193541-32-1P 193541-33-2P 193541-35-4P 193541-36-5P 193541-37-6P 193541-38-7P 193541-39-8P 193541-43-4P 193541-44-5P 193541-45-6P 193541-47-8P 193541-48-9P 193541-49-0P 193541-50-3P 193541-51-4P 193541-52-5P 193541-53-6P 193541-54-7P 193541-55-8P 193541-56-9P 193541-57-0P 193541-58-1P 193541-59-2P 193541-65-0P 193541-66-1P 193541-67-2P 193541-70-7P 193541-71-8P 193541-73-0P 193541-74-1P 193541-75-2P 193541-77-4P 193541-78-5P 193541-83-2P 193541-84-3P 193541-85-4P 193541-86-5P 193541-87-6P 193541-88-7P 193541-89-8P 193541-90-1P 193541-91-2P 193541-92-3P 193541-93-4P 193541-94-5P 193541-95-6P 193541-96-7P 193541-97-8P 193541-98-9P 193541-99-0P 193542-00-6P 193542-01-7P 193542-02-8P 193542-04-0P 193542-05-1P 193542-06-2P 193542-07-3P 193542-08-4P 193542-09-5P 193542-12-0P 193542-14-2P 193542-15-3P 193542-16-4P 193542-17-5P 193542-18-6P 193542-19-7P 193542-20-0P 193542-21-1P 193542-22-2P 193542-23-3P 193542-24-4P 193542-25-5P 193542-26-6P 193542-27-7P 193542-28-8P 193542-29-9P 193542-30-2P 193542-31-3P 193542-32-4P 193542-36-8P 193542-37-9P 193542-38-0P 193542-39-1P 193542-40-4P 193542-41-5P 193542-42-6P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of heterocyclic diphenylmethane derivs. as MIP- $1\alpha/RANTES$ receptor antagonists)

RN 173272-79-2 CAPLUS

•2 HCl

RN 193541-23-0 CAPLUS

CN Formamide, N-[5-[4-(4-chlorophenyl)-4-hydroxy-1-piperidinyl]-2,2-diphenylpentyl]-, monohydrochloride (9CI) (CA INDEX NAME)

HC1

RN 193541-24-1 CAPLUS

CN Formamide, N-[5-(4-hydroxy-4-phenyl-1-piperidinyl)-2,2-diphenylpentyl]-, monohydrochloride (9CI) (CA INDEX NAME)

● HCl

RN 193541-25-2 CAPLUS

CN Formamide, N-[5-[4-hydroxy-4-[4-(trifluoromethyl)phenyl]-1-piperidinyl]-2,2-diphenylpentyl]-, monohydrochloride (9CI) (CA INDEX NAME)

● HC1

RN 193541-26-3 CAPLUS

CN Formamide, N-[5-[4-[3,5-bis(trifluoromethyl)phenyl]-4-hydroxy-1-piperidinyl]-2,2-diphenylpentyl]-, monohydrochloride (9CI) (CA INDEX NAME)

● HCl

RN 193541-27-4 CAPLUS

CN Formamide, N-[5-[4-(3,5-dichlorophenyl)-4-hydroxy-1-piperidinyl]-2,2-diphenylpentyl]-, monohydrochloride (9CI) (CA INDEX NAME)

● HCl

RN 193541-29-6 CAPLUS

CN Formamide, N-[2,2-diphenyl-5-(4-phenyl-1-piperidinyl)pentyl]- (9CI) (CA INDEX NAME)

RN 193541-30-9 CAPLUS

CN Formamide, N-[5-[4-(4-chlorophenyl)-1-piperidinyl]-2,2-diphenylpentyl]-, monohydrochloride (9CI) (CA INDEX NAME)

• HCl

RN 193541-31-0 CAPLUS

CN Formamide, N-[7-[4-(4-chlorophenyl)-4-hydroxy-1-piperidinyl]-4,4-diphenylheptyl]-, monohydrochloride (9CI) (CA INDEX NAME)

HCl

RN 193541-32-1 CAPLUS

CN Formamide, N-[5-[4-(4-fluorophenyl)-4-hydroxy-1-piperidinyl]-2,2-diphenylpentyl]-, monohydrochloride (9CI) (CA INDEX NAME)

HCl

RN 193541-33-2 CAPLUS

CN Formamide, N-[5-[4-hydroxy-4-(4-methoxyphenyl)-1-piperidinyl]-2,2-diphenylpentyl]-, monohydrochloride (9CI) (CA INDEX NAME)

● HCl

RN 193541-35-4 CAPLUS

CN Acetamide, N-[5-[4-(4-chlorophenyl)-4-hydroxy-1-piperidinyl]-2,2-diphenylpentyl]-, monohydrochloride (9CI) (CA INDEX NAME)

● HCl

RN 193541-36-5 CAPLUS

CN Butanamide, N-[5-[4-(4-chlorophenyl)-4-hydroxy-1-piperidinyl]-2,2-diphenylpentyl]-3-oxo-, monohydrochloride (9CI) (CA INDEX NAME)

HCl

RN 193541-37-6 CAPLUS

CN Butanoic acid, 4-[[5-[4-(4-chlorophenyl)-4-hydroxy-1-piperidinyl]-2,2-diphenylpentyl]amino]-4-oxo-, ethyl ester, monohydrochloride (9CI) (CA INDEX NAME)

HCl

RN 193541-38-7 CAPLUS

CN Butanoic acid, 4-[[5-[4-(4-chlorophenyl)-4-hydroxy-1-piperidinyl]-2,2-diphenylpentyl]amino]-4-oxo-(9CI) (CA INDEX NAME)

RN 193541-39-8 CAPLUS
CN Urea, N-[5-[4-(4-chlorophenyl)-4-hydroxy-1-piperidinyl]-2,2-diphenylpentyl]-N'-ethyl- (9CI) (CA INDEX NAME)

RN 193541-43-4 CAPLUS

CN Acetic acid, [[5-[4-(4-chlorophenyl)-4-hydroxy-1-piperidinyl]-2,2-diphenylpentyl]amino]oxo-, ethyl ester, monohydrochloride (9CI) (CA INDEX NAME)

HCl

RN 193541-44-5 CAPLUS

CN Propanoic acid, 3-[[5-[4-(4-chlorophenyl)-4-hydroxy-1-piperidinyl]-2,2-diphenylpentyl]amino]-3-oxo-, ethyl ester, monohydrochloride (9CI) (CA INDEX NAME)

HCl

RN 193541-45-6 CAPLUS

CN Pentanoic acid, 5-[[5-[4-(4-chlorophenyl)-4-hydroxy-1-piperidinyl]-2,2-diphenylpentyl]amino]-5-oxo-, ethyl ester (9CI) (CA INDEX NAME)

RN 193541-47-8 CAPLUS

CN 1-Piperidinecarboxamide, N-[5-[4-(4-chlorophenyl)-4-hydroxy-1-piperidinyl]-2,2-diphenylpentyl]-, monohydrochloride (9CI) (CA INDEX NAME)

HCl

RN 193541-48-9 CAPLUS

CN Urea, N-[5-[4-(4-chlorophenyl)-4-hydroxy-1-piperidinyl]-2,2-diphenylpentyl]-N'-(3-hydroxypropyl)- (9CI) (CA INDEX NAME)

RN 193541-49-0 CAPLUS

CN Urea, N-[5-[4-(4-chlorophenyl)-4-hydroxy-1-piperidinyl]-2,2-diphenylpentyl]-N'-(4-hydroxybutyl)-, monohydrochloride (9CI) (CA INDEX NAME)

● HCl

RN 193541-50-3 CAPLUS

CN β -Alanine, N-[[[5-[4-(4-chlorophenyl)-4-hydroxy-1-piperidinyl]-2,2-diphenylpentyl]amino]carbonyl]-, ethyl ester (9CI) (CA INDEX NAME)

RN 193541-51-4 CAPLUS

CN Urea, N-[5-[4-(4-chlorophenyl)-4-hydroxy-1-piperidinyl]-2,2-diphenylpentyl]-N'-[2-(dimethylamino)ethyl]- (9CI) (CA INDEX NAME)

RN 193541-52-5 CAPLUS

CN Urea, N-[5-[4-(4-chlorophenyl)-4-hydroxy-1-piperidinyl]-2,2-diphenylpentyl]-N'-[3-(diethylamino)propyl]- (9CI) (CA INDEX NAME)

RN 193541-53-6 CAPLUS

CN Urea, N-[5-[4-(4-chlorophenyl)-4-hydroxy-1-piperidinyl]-2,2-diphenylpentyl]-N'-[3-(2-oxo-1-pyrrolidinyl)propyl]- (9CI) (CA INDEX NAME)

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RN 193541-54-7 CAPLUS

CN Urea, N-[5-[4-(4-chlorophenyl)-4-hydroxy-1-piperidinyl]-2,2-diphenylpentyl]-N'-[2-(1-piperidinyl)ethyl]- (9CI) (CA INDEX NAME)

RN 193541-55-8 CAPLUS

CN Ethanesulfonamide, 2-[[[[5-[4-(4-chlorophenyl)-4-hydroxy-1-piperidinyl]-2,2-diphenylpentyl]amino]carbonyl]amino]-, monohydrochloride (9CI) (CA INDEX NAME)

HCl

RN 193541-56-9 CAPLUS

CN Ethanesulfonic acid, 2-[[[[5-[4-(4-chlorophenyl)-4-hydroxy-1-piperidinyl]-2,2-diphenylpentyl]amino]carbonyl]amino]- (9CI) (CA INDEX NAME)

RN 193541-57-0 CAPLUS

CN Carbamic acid, [5-[4-(4-chlorophenyl)-4-hydroxy-1-piperidinyl]-2,2-diphenylpentyl]- (9CI) (CA INDEX NAME)

$$\begin{array}{c} \text{OH} \\ \text{Ph} \\ \text{HO}_2\text{C--NH--CH}_2\text{--C--} (\text{CH}_2)_3 \end{array} \qquad \qquad \text{Cl}$$

RN 193541-58-1 CAPLUS

CN Propanoic acid, 3-[[5-[4-(4-chlorophenyl)-4-hydroxy-1-piperidinyl]-2,2-diphenylpentyl]amino]-3-oxo-(9CI) (CA INDEX NAME)

RN 193541-59-2 CAPLUS

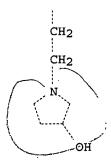
CN Pentanoic acid, 5-[[5-[4-(4-chlorophenyl)-4-hydroxy-1-piperidinyl]-2,2-diphenylpentyl]amino]-5-oxo-(9CI) (CA INDEX NAME)

RN 193541-65-0 CAPLUS

CN 1-Pyrrolidinepropanamide, N-[5-[4-(4-chlorophenyl)-4-hydroxy-1-piperidinyl]-2,2-diphenylpentyl]-3-hydroxy- (9CI) (CA INDEX NAME)

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RN 193541-66-1 CAPLUS

CN 1-Pyrrolidinepropanamide, N-[5-[4-(4-chlorophenyl)-4-hydroxy-1-piperidinyl]-2,2-diphenylpentyl]- (9CI) (CA INDEX NAME)

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RN 193541-67-2 CAPLUS

CN Propanamide, N-[5-[4-(4-chlorophenyl)-4-hydroxy-1-piperidinyl]-2,2-diphenylpentyl]-3-(dimethylamino)- (9CI) (CA INDEX NAME)

$$\begin{array}{c} \text{OH} \\ \text{OOH} \\ \text{Me}_2\text{N} \cdots \text{CH}_2 \cdots \text{CH}_2 \cdots \text{C} \cdots \text{NH} \cdots \text{CH}_2 \cdots \text{C} \cdots \text{(CH}_2) \\ \text{Ph} \end{array}$$

RN 193541-70-7 CAPLUS

CN Propanamide, 3-(acetylamino)-N-[5-[4-(4-chlorophenyl)-4-hydroxy-1-

piperidinyl]-2,2-diphenylpentyl]- (9CI) (CA INDEX NAME)

RN 193541-71-8 CAPLUS

CN Propanamide, N-[5-[4-(4-chlorophenyl)-4-hydroxy-1-piperidinyl]-2,2-diphenylpentyl]-3-[(1-oxopropyl)amino]- (9CI) (CA INDEX NAME)

RN 193541-73-0 CAPLUS

CN 4-Piperidinol, 4-(4-chlorophenyl)-1-[5-[[[(3-hydroxypropyl)amino]carbonyl] amino]-1-oxo-4,4-diphenylpentyl]- (9CI) (CA INDEX NAME)

RN 193541-74-1 CAPLUS

CN 4-Piperidinol, 4-(4-chlorophenyl)-1-[5-[[[[2-(dimethylamino)ethyl]amino]carbonyl]amino]-1-oxo-4,4-diphenylpentyl]- (9CI) (CA INDEX NAME)

RN 193541-75-2 CAPLUS

CN Acetamide, N-[5-[4-(4-chlorophenyl)-4-hydroxy-1-piperidinyl]-5-oxo-2,2-

diphenylpentyl] - (9CI) (CA INDEX NAME)

RN 193541-77-4 CAPLUS

CN Butanoic acid, 4-[[5-[4-(4-chlorophenyl)-4-hydroxy-1-piperidinyl]-5-oxo-2,2-diphenylpentyl]amino]-4-oxo-(9CI) (CA INDEX NAME)

RN 193541-78-5 CAPLUS

CN 4-Piperidinol, 4-(4-chlorophenyl)-1-[1-oxo-5-[[[[3-(2-oxo-1-pyrrolidinyl)propyl]amino]carbonyl]amino]-4,4-diphenylpentyl]- (9CI) (CA INDEX NAME)

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RN 193541-83-2 CAPLUS

CN Formamide, N-[2,2-bis(4-chlorophenyl)-5-[4-(4-chlorophenyl)-4-hydroxy-1-piperidinyl]pentyl]-, monohydrochloride (9CI) (CA INDEX NAME)

● HCl

RN 193541-84-3 CAPLUS

CN Butanoic acid, 4-[[2,2-bis(4-chlorophenyl)-5-[4-(4-chlorophenyl)-4-hydroxy-1-piperidinyl]pentyl]amino]-4-oxo-, ethyl ester, monohydrochloride (9CI) (CA INDEX NAME)

HCl

RN 193541-85-4 CAPLUS

CN Butanoic acid, 4-[[2,2-bis(4-chlorophenyl)-5-[4-(4-chlorophenyl)-4-hydroxy-1-piperidinyl]pentyl]amino]-4-oxo- (9CI) (CA INDEX NAME)

RN 193541-86-5 CAPLUS

CN 1-Piperidinecarboxylic acid, 4-[[[[5-[4-(4-chlorophenyl)-4-hydroxy-1-piperidinyl]-2,2-diphenylpentyl]amino]carbonyl]amino]-, ethyl ester (9CI) (CA INDEX NAME)

RN 193541-87-6 CAPLUS

CN Urea, N-[5-[4-(4-chlorophenyl)-4-hydroxy-1-piperidinyl]-2,2-diphenylpentyl]-N'-[2-(1-pyrrolidinyl)ethyl]- (9CI) (CA INDEX NAME)

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NH CH₂ CH₂

RN 193541-88-7 CAPLUS

CN Urea, N-[5-[4-(4-chlorophenyl)-4-hydroxy-1-piperidinyl]-2,2-diphenylpentyl]-N'-[2-(diethylamino)ethyl]- (9CI) (CA INDEX NAME)

RN 193541-89-8 CAPLUS

CN Urea, N-(3-aminopropyl)-N'-[5-[4-(4-chlorophenyl)-4-hydroxy-1-piperidinyl]-2,2-diphenylpentyl]-N-methyl- (9CI) (CA INDEX NAME)

Me O Ph

$$H_2N$$
 \cdots $(CH_2)_3$ \cdots N \cdots C \cdots NH \cdots CH_2 \cdots C \cdots $CH_2)_3$ \cdots C \cdots C

RN 193541-90-1 CAPLUS

CN Urea, N-[5-[4-(4-chlorophenyl)-4-hydroxy-1-piperidinyl]-2,2-diphenylpentyl]-N'-(5-hydroxypentyl)- (9CI) (CA INDEX NAME)

RN 193541-91-2 CAPLUS

CN Urea, N'-[5-[4-(4-chlorophenyl)-4-hydroxy-1-piperidinyl]-2,2-diphenylpentyl]-N-[2-(dimethylamino)ethyl]-N-methyl- (9CI) (CA INDEX NAME)

RN 193541-92-3 CAPLUS

CN Urea, N'-[5-[4-(4-chlorophenyl)-4-hydroxy-1-piperidinyl]-2,2-diphenylpentyl]-N-methyl-N-[2-(methylamino)ethyl]- (9CI) (CA INDEX NAME)

RN 193541-93-4 CAPLUS

CN Urea, N'-[5-[4-(4-chlorophenyl)-4-hydroxy-1-piperidinyl]-2,2-diphenylpentyl]-N-(2-hydroxyethyl)-N-methyl- (9CI) (CA INDEX NAME)

RN 193541-94-5 CAPLUS

CN Acetamide, N-[2-[[[[5-[4-(4-chlorophenyl)-4-hydroxy-1-piperidinyl]-2,2-diphenylpentyl]amino]carbonyl]amino]ethyl]- (9CI) (CA INDEX NAME)

RN 193541-95-6 CAPLUS

CN Butanoic acid, 4-[[[[5-[4-(4-chlorophenyl)-4-hydroxy-1-piperidinyl]-2,2-diphenylpentyl]amino]carbonyl]amino]-, ethyl ester (9CI) (CA INDEX NAME)

RN 193541-96-7 CAPLUS

CN Urea, N-[5-[4-(4-chlorophenyl)-4-hydroxy-1-piperidinyl]-2,2-diphenylpentyl]-N'-[1-(phenylmethyl)-4-piperidinyl]- (9CI) (CA INDEX

NAME)

RN 193541-97-8 CAPLUS

CN 1-Piperazinecarboxamide, N-[5-[4-(4-chlorophenyl)-4-hydroxy-1-piperidinyl]-2,2-diphenylpentyl]-4-methyl- (9CI) (CA INDEX NAME)

RN 193541-98-9 CAPLUS

CN 1-Piperazinecarboxamide, N-[5-[4-(4-chlorophenyl)-4-hydroxy-1-piperidinyl]-2,2-diphenylpentyl]-4-(phenylmethyl)- (9CI) (CA INDEX NAME)

RN 193541-99-0 CAPLUS

CN 3H-3-Benzazepine-3-carboxamide, N-[5-[4-(4-chlorophenyl)-4-hydroxy-1-piperidinyl]-2,2-diphenylpentyl]-1,2,4,5-tetrahydro- (9CI) (CA INDEX NAME)

RN 193542-00-6 CAPLUS

CN 1-Pyrrolidinecarboxamide, N-[5-[4-(4-chlorophenyl)-4-hydroxy-1-piperidinyl]-2,2-diphenylpentyl]-3-[(trifluoroacetyl)amino]- (9CI) (CA INDEX NAME)

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RN 193542-01-7 CAPLUS

CN Carbamic acid, [1-[[[5-[4-(4-chlorophenyl)-4-hydroxy-1-piperidinyl]-2,2-diphenylpentyl]amino]carbonyl]-4-piperidinyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

RN 193542-02-8 CAPLUS

CN 1-Piperidineacetic acid, 4-[[[[5-[4-(4-chlorophenyl)-4-hydroxy-1-piperidinyl]-2,2-diphenylpentyl]amino]carbonyl]amino]-, ethyl ester (9CI) (CA INDEX NAME)

RN 193542-04-0 CAPLUS

CN 1-Piperazinecarboxamide, N-[5-[4-(4-chlorophenyl)-4-hydroxy-1-piperidinyl]-2,2-diphenylpentyl]-4-formyl- (9CI) (CA INDEX NAME)

RN 193542-05-1 CAPLUS

CN 1-Piperazinecarboxamide, N-[5-[4-(4-chlorophenyl)-4-hydroxy-1-piperidinyl]-2,2-diphenylpentyl]-4-(3-hydroxypropyl)- (9CI) (CA INDEX NAME)

RN 193542-06-2 CAPLUS

CN 1-Piperazinecarboxylic acid, 4-[[[5-[4-(4-chlorophenyl)-4-hydroxy-1-piperidinyl]-2,2-diphenylpentyl]amino]carbonyl]-, ethyl ester (9CI) (CA INDEX NAME)

RN 193542-07-3 CAPLUS

CN 1-Piperazinecarboxamide, N-[5-[4-(4-chlorophenyl)-4-hydroxy-1-piperidinyl]-

2,2-diphenylpentyl]-4-[2-(4-morpholinyl)-2-oxoethyl]- (9CI) (CA INDEX NAME)

RN 193542-08-4 CAPLUS

CN β-Alanine, N-[[[5-[4-(4-chlorophenyl)-4-hydroxy-1-piperidinyl]-2,2diphenylpentyl]amino]carbonyl]- (9CI) (CA INDEX NAME)

RN 193542-09-5 CAPLUS

CN Butanoic acid, 4-[[[[5-[4-(4-chlorophenyl)-4-hydroxy-1-piperidinyl]-2,2-diphenylpentyl]amino]carbonyl]amino]- (9CI) (CA INDEX NAME)

RN 193542-12-0 CAPLUS

CN 4-Piperidinol, 1-[5-[[[[3-[(aminocarbonyl)oxy]propyl]amino]carbonyl]amino]-4,4-diphenylpentyl]-4-(4-chlorophenyl)- (9CI) (CA INDEX NAME)

RN 193542-14-2 CAPLUS

CN 1-Piperidinebutanoic acid, 4-[[[[5-[4-(4-chlorophenyl)-4-hydroxy-1-piperidinyl]-2,2-diphenylpentyl]amino]carbonyl]amino]- γ -oxo-, ethyl ester (9CI) (CA INDEX NAME)

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RN 193542-15-3 CAPLUS

CN 1-Piperidinecarboxamide, 4-[[[[5-[4-(4-chlorophenyl)-4-hydroxy-1-piperidinyl]-2,2-diphenylpentyl]amino]carbonyl]amino]-N-ethyl- (9CI) (CA INDEX NAME)

RN 193542-16-4 CAPLUS

CN 4-Piperidinamine, 1-acetyl-N-[[[5-[4-(4-chlorophenyl)-4-hydroxy-1-piperidinyl]-2,2-diphenylpentyl]amino]carbonyl]- (9CI) (CA INDEX NAME)

RN 193542-17-5 CAPLUS

CN Glycine, N-[[4-[[[[5-[4-(4-chlorophenyl)-4-hydroxy-1-piperidinyl]-2,2-diphenylpentyl]amino]carbonyl]amino]-1-piperidinyl]carbonyl]-, ethyl ester (9CI) (CA INDEX NAME)

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RN 193542-18-6 CAPLUS

CN 1-Piperidinepropanoic acid, 4-[[[[5-[4-(4-chlorophenyl)-4-hydroxy-1-piperidinyl]-2,2-diphenylpentyl]amino]carbonyl]amino]- β -oxo-, ethyl ester (9CI) (CA INDEX NAME)

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O O O

C--CH₂--C-
C1

Ph
OH

OH

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---- OEt

RN 193542-19-7 CAPLUS

CN Urea, N-[5-[4-(4-chlorophenyl)-4-hydroxy-1-piperidinyl]-2,2-diphenylpentyl]-N'-(1-ethyl-4-piperidinyl)- (9CI) (CA INDEX NAME)

RN 193542-20-0 CAPLUS

CN Urea, N-[5-[4-(4-chlorophenyl)-4-hydroxy-1-piperidinyl]-2,2-diphenylpentyl]-N'-[1-(2-hydroxyethyl)-4-piperidinyl]- (9CI) (CA INDEX NAME)

RN 193542-21-1 CAPLUS

CN 1-Piperidinepropanoic acid, 4-[[[[5-[4-(4-chlorophenyl)-4-hydroxy-1-piperidinyl]-2,2-diphenylpentyl]amino]carbonyl]amino]-, ethyl ester (9CI) (CA INDEX NAME)

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---- OEt

RN 193542-22-2 CAPLUS

CN Urea, N-[5-[4-(4-chlorophenyl)-4-hydroxy-1-piperidinyl]-2,2-diphenylpentyl]-N'-[1-(3-hydroxypropyl)-4-piperidinyl]- (9CI) (CA INDEX NAME)

RN 193542-23-3 CAPLUS

CN 4-Piperidinecarboxamide, N-[5-[4-(4-chlorophenyl)-4-hydroxy-1-piperidinyl]-2,2-diphenylpentyl]-, dihydrochloride (9CI) (CA INDEX NAME)

•2 HCl

RN 193542-24-4 CAPLUS

CN 4-Piperidinecarboxamide, N-[5-[4-(4-chlorophenyl)-4-hydroxy-1-piperidinyl]-2,2-diphenylpentyl]-1-ethyl-, dihydrochloride (9CI) (CA INDEX NAME)

•2 HCl

RN 193542-25-5 CAPLUS

CN 1-Piperidineacetic acid, 4-[[[5-[4-(4-chlorophenyl)-4-hydroxy-1-piperidinyl]-2,2-diphenylpentyl]amino]carbonyl]-, ethyl ester, dihydrochloride (9CI) (CA INDEX NAME)

●2 HCl

RN 193542-26-6 CAPLUS

CN 4-Piperidinecarboxamide, N-[5-[4-(4-chlorophenyl)-4-hydroxy-1-piperidinyl]-2,2-diphenylpentyl]-1-[2-(4-morpholinyl)ethyl]-, trihydrochloride (9CI) (CA INDEX NAME)

PAGE 1-A

•3 HCl

PAGE 1-B

__ Cl

RN 193542-27-7 CAPLUS

CN 4-Piperidinecarboxamide, N-[5-[4-(4-chlorophenyl)-4-hydroxy-1-piperidinyl]-2,2-diphenylpentyl]-1-[2-(dimethylamino)ethyl]-, trihydrochloride (9CI) (CA INDEX NAME)

•3 HCl

RN 193542-28-8 CAPLUS

CN 1,4-Piperidinedicarboxamide, N4-[5-[4-(4-chlorophenyl)-4-hydroxy-1-piperidinyl]-2,2-diphenylpentyl]-N1-ethyl-, monohydrochloride (9CI) (CA INDEX NAME)

RN 193542-29-9 CAPLUS

CN 1-Piperidinecarboxylic acid, 4-[[[5-[4-(4-chlorophenyl)-4-hydroxy-1-piperidinyl]-2,2-diphenylpentyl]amino]carbonyl]-, methyl ester, monohydrochloride (9CI) (CA INDEX NAME)

HCl

RN 193542-30-2 CAPLUS

CN 1,4-Piperidinedicarboxamide, N4-[5-[4-(4-chlorophenyl)-4-hydroxy-1-piperidinyl]-2,2-diphenylpentyl]-N1-phenyl-, monohydrochloride (9CI) (CA INDEX NAME)

HCl

RN 193542-31-3 CAPLUS

CN 4-Piperidinecarboxamide, 1-(4-chlorobenzoyl)-N-[5-[4-(4-chlorophenyl)-4-

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hydroxy-1-piperidinyl]-2,2-diphenylpentyl]-, monohydrochloride (9CI) (CA INDEX NAME)

HCl

RN 193542-32-4 CAPLUS

CN 1-Piperidinepropanoic acid, 4-[[[5-[4-(4-chlorophenyl)-4-hydroxy-1-piperidinyl]-2,2-diphenylpentyl]amino]carbonyl]- β -oxo-, ethyl ester, monohydrochloride (9CI) (CA INDEX NAME)

● HCl

RN 193542-33-5 CAPLUS

CN 1-Piperidinebutanoic acid, 4-[[[5-[4-(4-chlorophenyl)-4-hydroxy-1-piperidinyl]-2,2-diphenylpentyl]amino]carbonyl]-γ-oxo-, methyl ester, monohydrochloride (9CI) (CA INDEX NAME)

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02/13/2004

HCl

PAGE 1-B

---- OMe

RN 193542-34-6 CAPLUS

CN 4-Piperidinecarboxamide, N-[5-[4-(4-chlorophenyl)-4-hydroxy-1-piperidinyl]-2,2-diphenylpentyl]-1-(3-pyridinylcarbonyl)-, dihydrochloride (9CI) (CA INDEX NAME)

●2 HCl

RN 193542-35-7 CAPLUS

CN 4-Piperidinecarboxamide, N-[5-[4-(4-chlorophenyl)-4-hydroxy-1-piperidinyl]-2,2-diphenylpentyl]-1-[4-(dimethylamino)-1-oxobutyl]-, dihydrochloride (9CI) (CA INDEX NAME)

RN 193542-36-8 CAPLUS

CN 4-Piperidinecarboxamide, N-[5-[4-(4-chlorophenyl)-4-hydroxy-1-piperidinyl]-2,2-diphenylpentyl]-1-propyl-, dihydrochloride (9CI) (CA INDEX NAME)

•2 HCl

RN 193542-37-9 CAPLUS

CN 4-Piperidinecarboxamide, N-[5-[4-(4-chlorophenyl)-4-hydroxy-1-piperidinyl]-2,2-diphenylpentyl]-1-(3-pyridinylacetyl)-, dihydrochloride (9CI) (CA INDEX NAME)

•2 HCl

RN 193542-38-0 CAPLUS

CN 1-Piperidinecarboxylic acid, 4-[[[5-[4-(4-chlorophenyl)-4-hydroxy-1-piperidinyl]-2,2-diphenylpentyl]amino]carbonyl]-, ethyl ester, monohydrochloride (9CI) (CA INDEX NAME)

RN 193542-39-1 CAPLUS

CN 4-Piperidinecarboxamide, N-[5-[4-(4-chlorophenyl)-4-hydroxy-1-piperidinyl]-2,2-diphenylpentyl]- (9CI) (CA INDEX NAME)

RN 193542-40-4 CAPLUS

CN 1,4-Piperidinedicarboxamide, N4-[5-[4-(4-chlorophenyl)-4-hydroxy-1-piperidinyl]-2,2-diphenylpentyl]-N1-ethyl- (9CI) (CA INDEX NAME)

RN 193542-41-5 CAPLUS

CN 1-Piperidinepropanoic acid, 4-[[[5-[4-(4-chlorophenyl)-4-hydroxy-1-piperidinyl]-2,2-diphenylpentyl]amino]carbonyl]-β-oxo-, ethyl ester (9CI) (CA INDEX NAME)

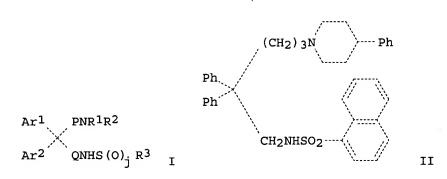
RN 193542-42-6 CAPLUS

CN 1-Piperidinebutanoic acid, $4-[[[5-[4-(4-chlorophenyl)-4-hydroxy-1-piperidinyl]-2,2-diphenylpentyl]amino]carbonyl]-<math>\gamma$ -oxo-, methyl ester (9CI) (CA INDEX NAME)

PAGE 1-B

---- OMe

L6 ANSWER 7 OF 9 CAPLUS COPYRIGHT 2004 ACS on STN GI



AB The title compds. I [Ar1, Ar2 = aromatic moiety; P, Q = divalent aliphatic hydrocarbon; R1, R2 = H, acyl, etc.; or NR1R2 = N-containing heterocycle; R3 = hydrocarbon, etc.; j = 1 or 2] are prepared The title compound II in vitro showed potent inhibiting activity against calcium uptake into cerebral cortex cells.

ACCESSION NUMBER:

1996:666869 CAPLUS

DOCUMENT NUMBER:

125:300606

TITLE:

Preparation and formulation of aryl sulfonamides as

APPLICATION NO.

DATE

calcium and serotonin uptake inhibitors

INVENTOR(S):

Kato, Kaneyoshi; Sugiura, Yoshihiro; Nagai, Yasuo Takeda Chemical Industries Ltd, Japan

PATENT ASSIGNEE(S):

Jpn. Kokai Tokkyo Koho, 51 pp.

SOURCE:

CODEN: JKXXAF

DOCUMENT TYPE:

Patent

LANGUAGE:

Japanese

· KIND DATE

dihydrochloride (9CI) (CA INDEX NAME)

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.

---------JP 08208595 19960813 JP 1995-296586 19951115 A2 PRIORITY APPLN. INFO.: JP 1994-286244 19941121 OTHER SOURCE(S): MARPAT 125:300606 173272-79-2P 173272-81-6P 173272-82-7P 173272-84-9P 179312-63-1P 179312-83-5P 179312-85-7P 179312-88-0P 179312-89-1P 182807-77-8P RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses) (preparation of aryl sulfonamides as calcium and serotonin uptake inhibitors) RN 173272-79-2 CAPLUS Formamide, N-[5-[4-(4-fluorophenyl)-1-piperazinyl]-2,2-diphenylpentyl]-, CN

RN 173272-81-6 CAPLUS
CN Formamide, N-[2-(4-chlorophenyl)-2-phenyl-5-(4-phenyl-1-piperazinyl)pentyl]-, dihydrochloride (9CI) (CA INDEX NAME)

•2 HCl

RN 173272-82-7 CAPLUS
CN Formamide, N-[2-(4-chlorophenyl)-2-phenyl-5-(4-phenyl-1-piperidinyl)pentyl]-, monohydrochloride (9CI) (CA INDEX NAME)

● HCl

RN 173272-84-9 CAPLUS
CN Formamide, N-[2-(4-chlorophenyl)-5-[4-(4-fluorophenyl)-1-piperazinyl]-2-phenylpentyl]-, dihydrochloride (9CI) (CA INDEX NAME)

RN 179312-63-1 CAPLUS

CN Formamide, N-[2,2-diphenyl-5-(4-phenyl-1-piperidinyl)pentyl]-, monohydrochloride (9CI) (CA INDEX NAME)

• HCl

RN 179312-83-5 CAPLUS

CN Formamide, N-[2-(4-methoxyphenyl)-2-phenyl-5-(4-phenyl-1-piperidinyl)pentyl]-, monohydrochloride (9CI) (CA INDEX NAME)

HC1

RN 179312-85-7 CAPLUS

CN Formamide, N-[2,2-bis(4-chlorophenyl)-5-[4-(4-fluorophenyl)-1-piperazinyl]pentyl]-, dihydrochloride (9CI) (CA INDEX NAME)

RN 179312-88-0 CAPLUS

CN Formamide, N-[6-[4-(4-fluorophenyl)-1-piperazinyl]-2,2-diphenylhexyl]-, dihydrochloride (9CI) (CA INDEX NAME)

●2 HCl

RN 179312-89-1 CAPLUS

CN Formamide, N-[6-[4-(4-fluorophenyl)-1-piperazinyl]-3,3-diphenylhexyl]-, dihydrochloride (9CI) (CA INDEX NAME)

●2 HCl

RN 182807-77-8 CAPLUS

CN Formamide, N-[3,3-diphenyl-6-(4-phenyl-1-piperidinyl)hexyl]-, monohydrochloride (9CI) (CA INDEX NAME)

• HCl

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L6 ANSWER 8 OF 9 CAPLUS COPYRIGHT 2004 ACS on STN

GI For diagram(s), see printed CA Issue.

AB The title compds. [I; Ar1, Ar2 = (un)substituted aryl; P, Q = divalent aliphatic hydrocarbyl having ≥2 carbon atoms and optionally having ether O or S in the chain; R1, R3 = COR, CONHR, hydrocarbyl; R = hydrocarbyl, heterocyclyl; R2, R4 = H, alkyl; group; NR1R2 and/or NR3R4 may form a nitrogen-containing heterocyclic group; j = 0, 1], which demonstrate high gonadotropin-releasing hormone (GnRH) receptor antagonist activity, useful in the treatment of hormone-dependent diseases [e.g., prostate cancer (no data), endometriosis (no data), etc. (no data)], are prepared and I-containing formulations presented. Thus, II was prepared and demonstrated a IC50 of 0.08 μM against the binding of 125I-leuprolerin to human GnRH receptors.

ACCESSION NUMBER: 1996:466898 CAPLUS

DOCUMENT NUMBER:

125:114489

TITLE:

Preparation of heterocyclic amine-compound antagonists

of gonadotropin-releasing hormone receptors

INVENTOR(S):

Kato, Kaneyoshi; Sugiura, Yoshihiro; Kato, Koichi

PATENT ASSIGNEE(S): SOURCE:

Takeda Chemical Industries, Ltd., Japan Eur. Pat. Appl., 123 pp.

CODEN: EPXXDW

DOCUMENT TYPE:

Patent

LANGUAGE:

English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

	ATENT NO.							DATE			
EP	712845	A1	19960522				08331		1121		
EP	712845										
	R: AT, BE,									PΤ,	SE
	08253447										
CA	2163325	AA	19960522		CA 19	95-2	163325	1995	1120		
US	5 5633248	Α	19970527		US 19	95-5	61282	1995	1121		
AT	207058	E	20011115		AT 19	95-3	08331	1995	1121		
	Y APPLN. INFO							1994			
OTHER SOURCE(S): MARPAT 125:114489											
IT 173272-79-2P 173272-81-6P 173272-82-7P											
173272-84-9P 179312-63-1P 179312-83-5P											
17	179312-85-7P 179312-88-0P 179312-89-1P										
17	179313-27-0P 179313-28-1P 179313-29-2P										
RL: BAC (Biological activity or effector, except adverse); BSU (Biological											
st	study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use);										
									~		
BIOL (Biological study); PREP (Preparation); USES (Uses) (preparation of heterocyclic amine-compound antagonists of											
gonadotropin-releasing hormone receptors)											
RN 17	3272-79-2 CAI				POJE	,					
	rmamide, N-[5		fluoronhenvl	١ - 1 -	niner	azin	v11-2	2-diph	envln	ents	z11 - .
	hydrochloride				PTPCT	w2111.	1-1 -/	z czpii		CC 3	, -, ,
41	, ar contor rac	() ()	(011 11101111 111	,							

RN 173272-81-6 CAPLUS
CN Formamide, N-[2-(4-chlorophenyl)-2-phenyl-5-(4-phenyl-1-piperazinyl)pentyl]-, dihydrochloride (9CI) (CA INDEX NAME)

●2 HCl

RN 173272-82-7 CAPLUS
CN Formamide, N-[2-(4-chlorophenyl)-2-phenyl-5-(4-phenyl-1-piperidinyl)pentyl]-, monohydrochloride (9CI) (CA INDEX NAME)

● HCl

RN 173272-84-9 CAPLUS
CN Formamide, N-[2-(4-chlorophenyl)-5-[4-(4-fluorophenyl)-1-piperazinyl]-2-phenylpentyl]-, dihydrochloride (9CI) (CA INDEX NAME)

RN 179312-63-1 CAPLUS

CN Formamide, N-[2,2-diphenyl-5-(4-phenyl-1-piperidinyl)pentyl]-, monohydrochloride (9CI) (CA INDEX NAME)

• HCl

RN 179312-83-5 CAPLUS

CN Formamide, N-[2-(4-methoxyphenyl)-2-phenyl-5-(4-phenyl-1-piperidinyl)pentyl]-, monohydrochloride (9CI) (CA INDEX NAME)

● HCl

RN 179312-85-7 CAPLUS

CN Formamide, N-[2,2-bis(4-chlorophenyl)-5-[4-(4-fluorophenyl)-1-piperazinyl]pentyl]-, dihydrochloride (9CI) (CA INDEX NAME)

RN 179312-88-0 CAPLUS

CN Formamide, N-[6-[4-(4-fluorophenyl)-1-piperazinyl]-2,2-diphenylhexyl]-, dihydrochloride (9CI) (CA INDEX NAME)

●2 HCl

RN 179312-89-1 CAPLUS

CN Formamide, N-[6-[4-(4-fluorophenyl)-1-piperazinyl]-3,3-diphenylhexyl]-, dihydrochloride (9CI) (CA INDEX NAME)

●2 HCl

RN 179313-27-0 CAPLUS

CN Benzenepropanamide, N-[4,4-diphenyl-7-(4-phenyl-1-piperidinyl)heptyl]-, monohydrochloride (9CI) (CA INDEX NAME)

RN 179313-28-1 CAPLUS

CN Benzenepropanamide, N-[7-[4-(3-methoxyphenyl)-1-piperidinyl]-4,4-diphenylheptyl]-, monohydrochloride (9CI) (CA INDEX NAME)

HC1

RN 179313-29-2 CAPLUS

CN Benzenepropanamide, 4-methoxy-N-[7-[4-(4-methoxyphenyl)-1-piperidinyl]-4,4-diphenylheptyl]-, monohydrochloride (9CI) (CA INDEX NAME)

● HCl

L6 ANSWER 9 OF 9 CAPLUS COPYRIGHT 2004 ACS on STN GI

Ar (CH₂)_mNR¹R²
(CH₂)_n

AB. Title compds. (I; Ar = aromatic group; R1, R2, R3 = H, acyl, hydrocarbyl; R1R2N = heterocyclyl; m = 1-6; n = 2, 3; dotted line = optional double bond; X = O, NR3, N:), were prepared Thus, 4-(2-iodoethyl)-4-phenylisochroman and imidazole were stirred with K2CO3 in MeCN for 4 days at 60° to give 4-phenyl-4-[2-(1-imidazolyl)ethyl]isochroman, isolated as the hydrochloride. I inhibited 5-HT uptake in rat brain prepns. with IC50 = 0.03-1.0 μM. I drug formulations are given.

ACCESSION NUMBER: 1995:995626 CAPLUS

DOCUMENT NUMBER: 124:145926

TITLE: Preparation of aminoalkylisochromans, -isoquinolines,

and related compounds as gonadotropin-releasing hormone antagonists, calcium antagonists, and/or

monoamine uptake inhibitors.

INVENTOR(S): Kato, Kaneyoshi; Sugiura, Yoshihiro; Kato, Koichi;

Nagai, Yasuo

PATENT ASSIGNEE(S): Takeda Chemical Industries, Ltd., Japan

SOURCE: Eur. Pat. Appl., 104 pp.

CODEN: EPXXDW

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

	PAT	CENT	NO.		KI	ND	DATE			AF	PLI	CATI	ON N	ο.	DATE			
	EР	6796	42		A	1	1995	1102		EF	19	95-1	0618	9	1995	0426		
	ΕP	6796	42		В	1	1999	1110										
		R:	AT,	BE,	CH,	DE,	DK,	ES,	FR,	GB,	GR,	ΙE,	IT,	LI,	LU,	NL,	PT,	SE
	US	5607	939		Α		1997	0304		US	19	95-4	2849	9	1995	0425		
	ΑТ	1865	30	•	E		1999	1115		ΓA	19	95-1	0618	9	1995	0426		
	ÇA	2148	047		A	A	1995	1029		CF	19	95-2	1480	47	1995	0427		
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									ت `	JP 19	94-	9276	9		1994	0428		
									τ	JS 19	95-	4284	99		1995	0425		

OTHER SOURCE(S): MARPAT 124:145926

IT 173272-96-3

RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of aminoalkylisochromans, -isoquinolines, and related compds.
as gonadotropin-releasing hormone antagonists, calcium antagonists,
and/or monoamine uptake inhibitors)

RN 173272-96-3 CAPLUS

CN Formamide, N-[4-[4-(4-fluorophenyl)-1-piperazinyl]-2,2-diphenylbutyl]-(9CI) (CA INDEX NAME)

IT 173272-65-6P 173272-74-7P 173272-79-2P

173272-81-6P 173272-82-7P 173272-84-9P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of aminoalkylisochromans, -isoquinolines, and related compds. as gonadotropin-releasing hormone antagonists, calcium antagonists, and/or monoamine uptake inhibitors)

RN 173272-65-6 CAPLUS

CN Formamide, N-[2,2-diphenyl-5-(4-phenyl-1-piperazinyl)pentyl]- (9CI) (CA INDEX NAME)

RN 173272-74-7 CAPLUS

CN Formamide, N-[4-[4-(4-fluorophenyl)-1-piperazinyl]-2,2-diphenylbutyl]-, dihydrochloride (9CI) (CA INDEX NAME)

•2 HCl

RN 173272-79-2 CAPLUS

CN Formamide, N-[5-[4-(4-fluorophenyl)-1-piperazinyl]-2,2-diphenylpentyl]-, dihydrochloride (9CI) (CA INDEX NAME)

RN 173272-81-6 CAPLUS
CN Formamide, N-[2-(4-chlorophenyl)-2-phenyl-5-(4-phenyl-1-piperazinyl)pentyl]-, dihydrochloride (9CI) (CA INDEX NAME)

•2 HCl

RN 173272-82-7 CAPLUS
CN Formamide, N-[2-(4-chlorophenyl)-2-phenyl-5-(4-phenyl-1-piperidinyl)pentyl]-, monohydrochloride (9CI) (CA INDEX NAME)

● HCl

RN 173272-84-9 CAPLUS
CN Formamide, N-[2-(4-chlorophenyl)-5-[4-(4-fluorophenyl)-1-piperazinyl]-2-phenylpentyl]-, dihydrochloride (9CI) (CA INDEX NAME)

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L1 STRUCTURE UPLOADED

L2 STRUCTURE UPLOADED

L3 19 S L2

L4 19 S L1

L5 311 S L1 FUL

FILE 'CAPLUS' ENTERED AT 14:13:19 ON 13 FEB 2004

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LOGOFF? (Y)/N/HOLD:Y

COST IN U.S. DOLLARS SINCE FILE TOTAL ENTRY SESSION

FULL ESTIMATED COST 45.44 202.33

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)
SINCE FILE TOTAL ENTRY SESSION

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